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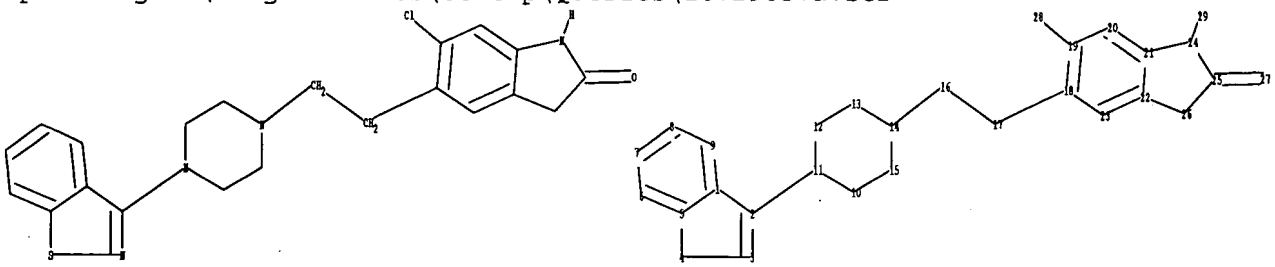


chain nodes :
16 17 27 28 29
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 18 19 20 21 22 23 24 25
26
chain bonds :
2-11 14-16 16-17 17-18 19-28 24-29 25-27
ring bonds :
1-2 1-5 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 18-19 18-23 19-20 20-21 21-22 21-24 22-23 22-26 24-25 25-26
exact/norm bonds :
1-2 2-3 2-11 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15 21-24 22-26
24-25 25-26 25-27
exact bonds :
14-16 16-17 17-18 19-28 24-29
normalized bonds :
1-5 1-9 5-6 6-7 7-8 8-9 18-19 18-23 19-20 20-21 21-22 22-23

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS
29:CLASS

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> d l3
L3 HAS NO ANSWERS
L3 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

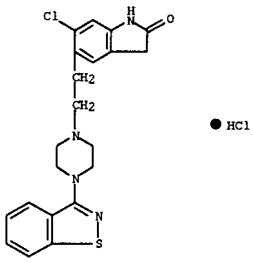
L3 ANSWER 1 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:427087 CAPLUS
TITLE: A rapid stability-indicating LC method for ziprasidone hydrochloride
AUTHOR(S): Singh, A.; Rao, B. M.; Deshpande, G. R.; Sangaraju, S.; Srinivasu, M. K.; Devi, M. Lalitha; Satyanarayana, P. V. V.; Chandrasekhar, K. B.
CORPORATE SOURCE: Analytical Research, Custom Pharmaceutical Services, Dr. Reddy's Laboratories, Hyderabad, 500 049, India
SOURCE: Chromatographia (2007), 65(3/4), 191-196
CODEN: CHRGB7; ISSN: 0009-5893
PUBLISHER: Vieweg Verlag/GWV Fachverlage GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A simple and rapid reversed-phase liquid chromatog. method was developed for the related substances determination and quant. evaluation of ziprasidone hydrochloride, which is used as an antipsychotic agent. Forced degradation studies were performed on bulk sample of ziprasidone hydrochloride using acid, base, oxidative hydrolysis, thermal stress, and photolytic degradation Mild degradation of the drug substance was observed during thermal stress and considerable degradation observed during base hydrolysis. The chromatog. method was fine tuned using the samples generated from forced degradation studies. Good resolution between the peaks corresponds to synthetic impurities and degradation products from the analyte were achieved on YMC Pack Pro C18 column using the mobile phase consists of a mixture of 0.05% volume/volume of phosphoric acid in water and acetonitrile. The stressed test solns. were assayed against the qualified working standard of ziprasidone hydrochloride and the mass balance in each case was close to 99.7% indicating that the developed method was stability-indicating. Validation of the developed method was carried out as per ICH requirements.

IT INDEXING IN PROGRESS
IT 122883-93-6, Ziprasidone hydrochloride
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study); PRP (Properties)
(stability-indicating LC method for ziprasidone hydrochloride)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 1 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



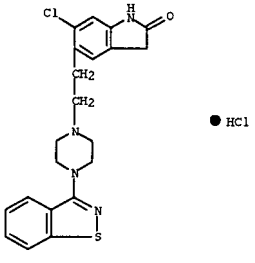
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:130556 CAPLUS
DOCUMENT NUMBER: 146:371618
TITLE: Ziprasidone: a review of its use in schizophrenia and schizoaffective disorder
AUTHOR(S): Harrison, Tracy Swainston; Scott, Lesley J.
CORPORATE SOURCE: Wolters Kluwer Health Adis, Auckland, N. Z.
SOURCE: CNS Drugs (2006), 20(12), 1027-1052
CODEN: CNDREF; ISSN: 1172-7047
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Ziprasidone (Geodon, Zeldox) is an atypical antipsychotic agent with a unique neurotransmitter receptor-binding profile. The oral formulation is indicated for the treatment of adult patients with schizophrenia and the i.m. formulation for the control of acute agitation in these patients. In adult patients with schizophrenia or schizoaffective disorder, oral ziprasidone was effective at a dosage of 40-80mg twice daily in patients experiencing a phase of acute illness, and at a dosage of 20-80mg twice daily in those with chronic schizophrenia or schizoaffective disorder, including those who were symptomatically stable. Ziprasidone offers the advantage over most other atypical antipsychotic agents of being available in a fast-acting i.m. formulation for control of acute agitation, thus providing clinicians with the option to safely and effectively transition to longer-term treatment with the oral formulation. Although careful consideration should be given to the propensity for ziprasidone to cause corrected QT (QTc) interval prolongation, albeit at a relatively low incidence, the drug generally has a favorable tolerability profile of low extrapyramidal syndrome (EPS) liability, neutral bodyweight gain, and potentially low propensity for metabolic complications. Thus, ziprasidone is an effective option for the management of patients with schizophrenia or schizoaffective disorder, with the i.m. formulation providing a useful option for the treatment of acute agitation in these patients. Pharmacol. Properties Ziprasidone is a potent serotonin 5-HT2A and dopamine D2 receptor antagonist. It has a higher binding affinity for the 5-HT2A receptor than the D2 receptor, which may, in part, explain the beneficial effects the drug has against the neg. symptoms of schizophrenia and the low risk for EPS. The pharmacol. profile of ziprasidone suggests a low potential for bodyweight gain, which was confirmed in clin. trials in patients with schizophrenia or schizoaffective disorder. In addition, ziprasidone was not associated with dyslipidemia. The oral formulation of ziprasidone 20-60mg twice daily is well absorbed, with a maximum plasma drug concentration of 45-139 ng/mL. Systemic exposure was greater in the fed state than in the fasted state; thus oral ziprasidone should be taken with food. Ziprasidone is extensively metabolised in the liver, with <5% of the unchanged drug excreted in the urine or faeces. The terminal elimination half-life (t1/2z) of oral ziprasidone was 5-10 h. Peak plasma concns. were achieved within 1 h of a dose of i.m. ziprasidone 10 or 20mg. The t1/2z of the i.m. formulation is 2-3 h. Currently available data suggest there are no pharmacokinetic drug interactions that necessitate dosage adjustment of ziprasidone. Therapeutic Efficacy Oral ziprasidone 40-80mg twice daily was shown to be as effective as oral risperidone, haloperidol and olanzapine in the treatment of acute exacerbations of schizophrenia or schizoaffective disorder in short-term (6- or 8-wk) trials. With longer-term treatment (≥12 wk) in patients with chronic schizophrenia, the efficacy of oral ziprasidone was not significantly different from that of haloperidol and was equivalent to that of amisulpride; however, oral olanzapine showed superior efficacy to ziprasidone. In the clin. practice setting in patients with chronic schizophrenia in the CATIE study, which evaluated the time to discontinuation from treatment for any reason, the efficacy of oral olanzapine or risperidone was superior to that of oral ziprasidone. Nevertheless, in well controlled extension studies, the antipsychotic efficacy of ziprasidone was not significantly different from that of olanzapine or risperidone over the longer term in patients with an acute exacerbation of symptoms. The i.m. formulation of ziprasidone (5-20mg) rapidly reduced acute agitation in adult patients with psychotic disorders. Tolerability Ziprasidone is well tolerated; the most frequent treatment-emergent adverse events were CNS- or gastrointestinal system-related, the majority of which were of mild to moderate severity. Treatment-related serious adverse events were infrequent. The tolerability profile of oral ziprasidone was similar to that of placebo over 52 wk; however, asthenia occurred more frequently with ziprasidone. In other longer-term trials, ziprasidone was assocd. with more treatment-emergent insomnia, vomiting, psychosis and 'decreased appetite' than olanzapine but less 'wt. increase' and 'appetite increase' than olanzapine. The drug was assocd. with a low propensity to cause EPS or EPS-related adverse events. Oral and i.m. ziprasidone was assocd. with less severe EPS than haloperidol. Although there is potential for clin. significant QTc prolongation, in clin. trials, the drug was infrequently assocd. with this event when administered at recommended dosages.

IT 122883-93-6, Zeldox
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy and tolerability of ziprasidone in patients with schizophrenia or schizoaffective disorder)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

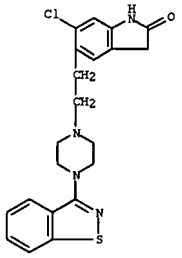
L3 ANSWER 3 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1095029 CAPLUS
DOCUMENT NUMBER: 145:426016
TITLE: Injectable depot formulations and methods for providing sustained release of poorly soluble drugs comprising nanoparticles
INVENTOR(S): Shah, Jaymin Chandrakant; Shah, Parag Suresh; Wagner, Dawn Renee; Wisniecki, Peter
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006109177	A1	20061019	WO 2006-IB1011	20060410

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-671123P P 20050413
AB Pharmaceutical formulations comprising a compound of low water solubility, having a maximum average particle size; a carrier; and at least 2 surface stabilizers are disclosed. The present invention also comprises methods of treating various conditions with such a formulation and processes for making such a formulation. A coarse suspension was prepared by placing 21.92 g ziprasidone free base in a chamber with 38.42 g milling media. To this, a 10.44 mL 10% Tween- 80 solution, 10.44 mL 10% Pluronic-F108 solution, and 5.22 mL lecithin were added. In addition, 13.8 mL water for injection was added to the chamber. The above mixture was stirred until uniform suspension was obtained. This suspension was then milled for 80 min and the temperature during milling was maintained at 4°. The resulting suspension was filtered under vacuum to remove the milling media and the suspension characterized by microscopy and light scattering.
IT 122883-93-6, Ziprasidone hydrochloride
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(injectable depot formulations and methods for providing sustained release of poorly soluble drugs comprising nanoparticles)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 3 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

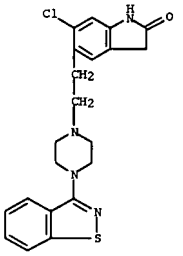
L3 ANSWER 4 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1093813 CAPLUS
DOCUMENT NUMBER: 145:426006
TITLE: Injectable depot formulations and methods for providing sustained release of nanoparticle compositions
INVENTOR(S): Shah, Jaymin Chandrakant; Shah, Parang Suresh; Wagner, Dawn Renee; Wisniecki, Peter
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 50pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006109183	A1	20061019	WO 2006-IB1094	20060410

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-671124P P 20050413
AB Pharmaceutical formulations comprising: a compound selected from the group consisting of ziprasidone, having a maximum average particle size; a carrier; and preferably at least 2 surface stabilizers are disclosed. The present invention also comprises methods of treating psychosis with such a formulation and processes for making such a formulation. Thus, a formulation contained 28% micronized ziprasidone mesylate, and 0.1% Tween-80 aqueous suspension.
IT 122883-93-6, Ziprasidone hydrochloride
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(injectable depot formulations and methods for providing sustained release of nanoparticle compns.)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 4 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



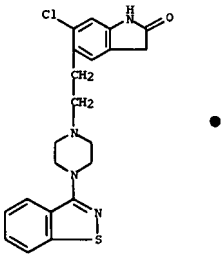
● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1049528 CAPLUS
DOCUMENT NUMBER: 145:425912
TITLE: Method for manufacturing water-soluble clathrate containing ziprasidone or its salt
INVENTOR(S): Qu, Wen; Bao, Yongchu; Chen, Qinghua; Zhu, Baoquan
PATENT ASSIGNEE(S): Shanghai Institute of Pharmaceutical Industry, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 10pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1839839	A	20061004	CN 2006-10023760	20060207

PRIORITY APPLN. INFO.: CN 2006-10023760 20060207
AB The title method comprises: (1) heating to dissolve ziprasidone or its salt in solvent at 78±1.5 under refluxing, and (2) filtering to remove insol. matter, and evaporating solvent from filtrate to obtain the final product with snow-white color. The method with the advantages of simple and fast process and low cost is in favor of enhancing stability and antibacterial property of medicine, and is suitable for large-scale production
IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for manufacturing water-soluble clathrate containing ziprasidone or its salt)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

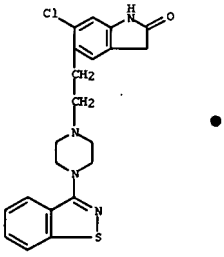


L3 ANSWER 6 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:952669 CAPLUS
DOCUMENT NUMBER: 145:321805
TITLE: Preparation of acid addition salts of ziprasidone and intermediates thereof by solid phase-gas phase reactions
INVENTOR(S): Rey, Allan W.; Derdour, Lofti; Murthy, K.S. Keshava; Datta, Probal Kanti; Ehlert, Martin; Horne, Stephen, E.
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: PCT Int. Appl., 21 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006094396	A1	20060914	WO 2006-CA338	20060310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2500667	A1	20060911	CA 2005-2500667	20050311
US 2006205947	A1	20060914	US 2005-168524	20050629

PRIORITY APPLN. INFO.: CA 2005-2500667 A 20050311
AB A process for the preparation of an acid addition salt of ziprasidone base and intermediates thereof comprising exposing the ziprasidone base in solid form to a gaseous acid in a substantially dry environment. The process is solvent free and the gaseous acid is mixed with one or more inert gases. The process produces ziprasidone hydrochloride in high yield and purity and is reliable, consistent and suitable for large scale manufacturing The process can also be used to prepare ziprasidone hydrobromide and ziprasidone acetate.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acid addition salts of ziprasidone and intermediates thereof by solid phase-gas phase reactions)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 6 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

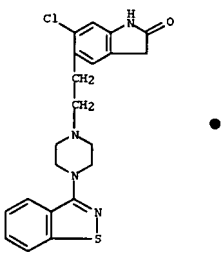


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:949998 CAPLUS
DOCUMENT NUMBER: 145:315023
TITLE: Ziprasidone free from colored impurities and a process for its preparation
INVENTOR(S): Ventimiglia, Giampiero; Allegrini, Pietro; Razzetti, Gabriele; Magrone, Domenico; Bologna, Alberto
PATENT ASSIGNEE(S): Dipharma S.p.A., Italy; Lundbeck Pharmaceuticals Italy S.p.A.
SOURCE: Eur. Pat. Appl., 11pp. CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1700857	A1	20060913	EP 2006-3900	20060227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
US 2006211708	A1	20060921	US 2006-368677	20060307

PRIORITY APPLN. INFO.: IT 2005-MI346 A 20050307
AB Ziprasidone base, or a pharmaceutically acceptable salt (e.g., ziprasidone hydrochloride), free from colored impurities, in particular those giving the product a "slightly pink to pink" coloration, is prepared
IT 122883-93-6P, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(ziprasidone free from colored impurities and a process for its preparation)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

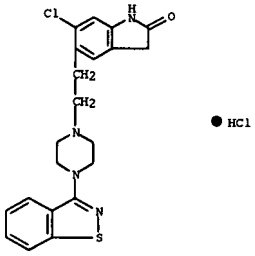
L3 ANSWER 8 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:818094 CAPLUS
DOCUMENT NUMBER: 145:235847
TITLE: Solid oral dosage forms of ziprasidone
INVENTOR(S): Karanth, Girish; Singh, Romi Barat; Nagaprasad, Vishnubhotla
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006085168	A2	20060817	WO 2006-IB14	20060106
WO 2006085168	A3	20061005		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2005-DE37 A 20050107
AB The present invention relates to solid oral dosage forms of ziprasidone and salts thereof and processes for their preparation Thus, ziprasidone-HCl colloidal silicon dioxide were sifted and blended and the blend was lubricated with magnesium stearate. The lubricated blend was compacted and the compacts were milled into granules. The granules were filled into hard gelatin capsules.
IT 122883-93-6, Ziprasidone hydrochloride
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid oral dosage forms of ziprasidone)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 8 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

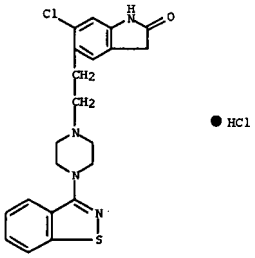


L3 ANSWER 9 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:768275 CAPLUS
DOCUMENT NUMBER: 145:188913
TITLE: Process for preparing ziprasidone using silylated intermediates
INVENTOR(S): Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar; Reddy, Rapolu Raji; Reddy, Dasari Muralidhara; Reddy, Itiyala Srinivas
PATENT ASSIGNEE(S): Hetero Drugs Limited, India
SOURCE: PCT Int. Appl., 28pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006080025	A1	20060803	WO 2005-IN30	20050127

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2005-IN30 20050127
OTHER SOURCE(S): CASREACT 145:188913; MARPAT 145:188913
AB A process is described for the preparation of high-purity ziprasidone, pharmaceutically acceptable acid addition salts, solvates, and hydrates, using silylated intermediates, and a purification method is also presented. Thus, 1-(1,2-benzisothiazol-3-yl)piperazine is silylated with trimethylsilylchloride in methylene chloride in the presence of triethylamine and the solvent is distilled off to obtain silylated 1-(1,2-benzisothiazol-3-yl)piperazine. The silylated compound is reacted with 5-(2-chloroethyl)-6-chloro-oxindole in the presence of sodium carbonate to obtain ziprasidone.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparing ziprasidone using silylated intermediates)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

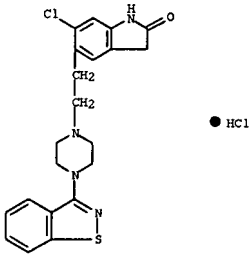
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:765298 CAPLUS
DOCUMENT NUMBER: 145:195696
TITLE: Lacosamide for add-on-therapy for the treatment of psychosis
INVENTOR(S): Stoehr, Thomas
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: PCT Int. Appl., 61pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006079547	A2	20060803	WO 2006-EP722	20060127
WO 2006079547	A3	20060921		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1688137	A1	20060809	EP 2005-1843	20050128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
US 2006252749	A1	20061109	US 2006-342140	20060127
PRIORITY APPLN. INFO.:			EP 2005-1843 A	20050128
			US 2005-647410P P	20050128

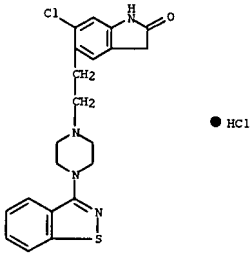
OTHER SOURCE(S): MARPAT 145:195696
AB The present invention is directed to the use of a class of peptide compds. for the prevention, alleviation or/and treatment of a disease that is treated with antipsychotics, in particular psychosis, more particular schizophrenia, in an add-on therapy to at least one antipsychotic.
IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lacosamide for add-on-therapy for treatment of psychosis)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 10 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:612472 CAPLUS
DOCUMENT NUMBER: 145:460106
TITLE: Development of dissolution medium for ziprasidone HCl
AUTHOR(S): Deshmukh, S. S.; Potnis, V. V.; Mahaparale, P. R.; Kute, A. B.
CORPORATE SOURCE: Padamshri Dr. D. Y. Patil Institute of Pharmaceutical Sciences and Research, Maharashtra, India
SOURCE: Indian Pharmacist (New Delhi, India) (2006), 5(47), 79-80
CODEN: IPNHA9; ISSN: 0972-7914
PUBLISHER: Bazaz Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Dissoln. testing has emerged in the pharmaceutical field as a very important tool to characterize drug product performance. Ziprasidone HCl is an atypical antipsychotic drug having poor water solubility In the present work, an attempt was made to develop discriminating dissoln. medium for Ziprasidone HCl. The composition of medium was determined on the basis of solubility data of the drug in different medias. Saturation solubility of the drug was found to be more in phosphate buffer pH 7.4. The effect of surfactants (Sodium lauryl sulfate-SLS and Tween 80) in different concns. was studied on solubility of the drug in phosphate buffer pH 7.4. Study revealed that phosphate buffer pH 7.4 with 1% SLS showed higher solubility, and hence was considered to be a suitable dissoln. medium. The selected dissoln. medium showed good discriminating power.
IT 122883-93-6, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(dissoln. medium made of phosphate buffer pH 7.4 with 1% sodium lauryl sulfate showed higher solubility of ziprasidone HCl and capsule A showed faster dissoln. than capsule B in this medium)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

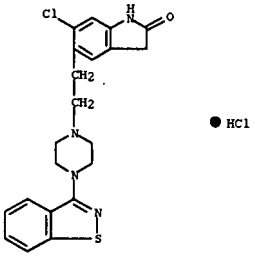


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:388767 CAPLUS
DOCUMENT NUMBER: 144:412547
TITLE: Process for the preparation of highly pure ziprasidone hydrochloride
INVENTOR(S): Venkataraman, Sundaram; Rao, Uppala Venkata Bhaskara; Muvva, Venkateswarlu; Chitta, Vijayawardhan
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006089502	A1	20060427	US 2005-259321		20051026
PRIORITY APPLN. INFO.:			US 2004-622370P	P	20041027
			US 2004-630757P	P	20041124

OTHER SOURCE(S): CASREACT 144:412547
AB A process for preparing ziprasidone hydrochloride, having low levels of keto ziprasidone and hydroxy ziprasidone impurities, comprises: (A) acylating 6-chloro-1,3-dihydro-2H-indol-2-one with chloroacetyl chloride to form 5-(2-chloroacetyl)-6-chloro-2-oxindole; (B) reducing 5-(2-chloroacetyl)-6-chloro-2-oxindole with an excess of triethylsilane in the presence of a strong acid to form a mixture of 5-(2-chloroethyl)-6-chlorooxindole, 5-(2-chloroacetyl)-6-chloro-2-oxindole, and 5-(2-chlorohydroxylethyl)-6-chlorooxindole; (C) condensing the mixture obtained in step (B) with 3-(1-piperazinyl)-1,2-benzisothiazole to form a mixture of ziprasidone and impurities; (D) purifying the ziprasidone by slurrying, recrystn., or a combination of the two methods; and (E) converting ziprasidone into ziprasidone hydrochloride by neutralization of the free base with HCl.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of highly pure ziprasidone hydrochloride)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



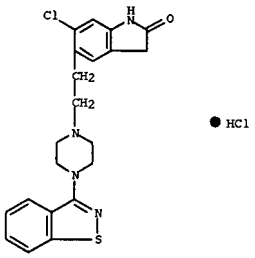
L3 ANSWER 12 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L3 ANSWER 13 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:333245 CAPLUS
DOCUMENT NUMBER: 144:338216
TITLE: Controlled release dosage forms combining immediate release and sustained release of low-solubility drug
INVENTOR(S): Appel, Leah Elizabeth; Friesen, Dwayne Thomas; Herbig, Scott Max; Thombre, Avinash Govind
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006024949	A2	20060309	WO 2005-IB2825		20050819
WO 2006024949	A3	20060504			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
CA 2578474	A1	20060309	CA 2005-2578474		20050819
PRIORITY APPLN. INFO.:			US 2004-605955P	P	20040831
			WO 2005-IB2825	W	20050819

AB A controlled release dosage form comprises an immediate release portion and an enteric coated sustained release core. For example, particles contained ziprasidone hydrochloride coated with precipitation-inhibiting polymer
HPMCAS.
IT 122883-93-6, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(controlled release dosage forms combining immediate release and sustained release of ziprasidone)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 13 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 14 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:318509 CAPLUS
DOCUMENT NUMBER: 144:370125
TITLE: Condensation process for preparing ziprasidone in the presence of a neutralizing agent
INVENTOR(S): Burgarolas Montero, Carme; Puig Serrano, Jordi; Arnalot Aguilar, Carme; Bosch Illado, Jordi
PATENT ASSIGNEE(S): Medichem, S.A., Spain
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034964	A1	20060406	WO 2005-EP54588	20050915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
ES 2250000	A1	20060401	ES 2004-2315	20040929
PRIORITY APPLN. INFO.:			ES 2004-2315 A	20040929

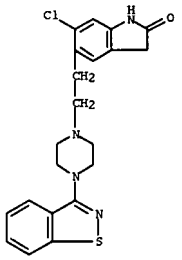
OTHER SOURCE(S): CASREACT 144:370125; MARPAT 144:370125

AB A process for the preparation of ziprasidone or its pharmaceutically acceptable acid addition salts, solvates, hydrates, or clathrates comprises reacting a 5-(2-haloethyl)-6-chloro-1,3-dihydroindole-2-(2H)-one with the free base or an acid addition salt of 3-(1-piperazinyl)-1,2-benzisothiazole in the presence of a neutralizing agent (e.g., sodium carbonate) and in a solvent comprising acetonitrile.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(condensation process for preparing ziprasidone in the presence of a neutralizing agent)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 14 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:317490 CAPLUS
DOCUMENT NUMBER: 144:350716
TITLE: Salification process for the purification of ziprasidone
INVENTOR(S): Burgarolas Montero, Carme; Bosch Illado, Jordi
PATENT ASSIGNEE(S): Medichem, S.A., Spain
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034965	A1	20060406	WO 2005-EP54589	20050915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
ES 2250001	A1	20060401	ES 2004-2316	20040929
PRIORITY APPLN. INFO.:			ES 2004-2316 A	20040929

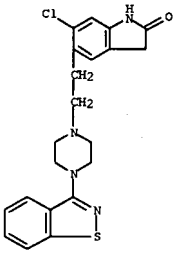
OTHER SOURCE(S): MARPAT 144:350716

AB Process for the purification of ziprasidone. A process for the purification of 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one, ziprasidone, from a reaction mixture containing it and impurities, comprises reacting the impure mixture with maleic acid or acetic acid to obtain the acetate or maleate ziprasidone addition salt, and precipitating the impurities by the addition of an organic solvent. The purified ziprasidone addition salt is then neutralized with pharmaceutically acceptable acids (e.g., HCl) and ziprasidone isolated as the corresponding addition salt (e.g., ziprasidone hydrochloride).

IT 122883-93-6P, Ziprasidone hydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(salification process for the purification of ziprasidone)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 15 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

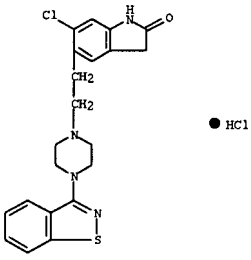
ACCESSION NUMBER: 2006:301946 CAPLUS
DOCUMENT NUMBER: 145:224704
TITLE: Atypical antipsychotics produce within-session decrements on self-stimulation of the rat medial prefrontal cortex
AUTHOR(S): Montes, Maria I. R.; Chaatouf, El Hassan; Ferrer, Jose-Manuel R.
CORPORATE SOURCE: Department of Physiology and Institute of Neuroscience, Faculty of Medicine, University of Granada, Granada, 18012, Spain
SOURCE: Frontiers in Bioscience (2006), 11(Suppl.), 2595-2603
CODEN: FRBIF6; ISSN: 1093-4715
URL: http://www.bioscience.org/2005/v19/af/1723/fulltext.htm
PUBLISHER: Frontiers in Bioscience
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB It has been described that "typical" antipsychotic drugs (APDs) induce characteristic within-session response decrements in operant behaviors, including intracranial self-stimulation (ICSS). By contrast, recent reports have shown that in food operant behavior, clozapine and a number of "atypical" APDs do not give rise to within-session effects. However, to elucidate whether or not this is a common property of atypical APDs, their effects on other operant models need to be studied. To address this question we investigated the temporal pattern of ICSS responding, after systemic administration of five atypical APDs and the typical antipsychotic, haloperidol. Rats were trained to lever press for elec. stimulation at the medial prefrontal cortex (mPFC), and response rates were recorded during each 3-min period of the 15-min session. Significant within-session response decrements on mPFC ICSS were observed with haloperidol, risperidone, sertindole and olanzapine but not with clozapine or ziprasidone. The magnitude of within-session decline produced by the APDs tested was pos. correlated with their affinity for dopamine D2 receptors. The results show for the first time that atypical APDs are capable to induce within-session decrements on ICSS behavior, and suggest that this particular temporal pattern of responding is not exclusively characteristic of typical APDs. The results are also consistent with the hypothesis that the ability of APDs to induce greater within-session effects may be related, in part, to potent D2 antagonism.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ziprasidone did not produce within-session response decrements on intracranial self-stimulation in rat medial prefrontal cortex)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 16 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:220553 CAPLUS
DOCUMENT NUMBER: 144:357674
TITLE: Clathrate compound of ligustilide, cyclodextrin or its derivative, its formulation and pharmaceutical preparation
INVENTOR(S): Qian, Zhongming; Wang, Chengyuan; Du, Junrong
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

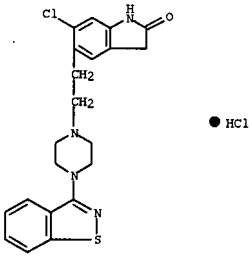
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1732923	A	20060215	CN 2005-10021303	20050715
PRIORITY APPLN. INFO.:			CN 2005-10021303	20050715

AB The clathrate compound contains ligustilide, and cyclodextrin or its derivative
The mol ratio of ligustilide : cyclodextrin or its derivative is 1:1-10. The ligustilide may be cis-ligustilide, or the mixed type of cis-ligustilide and trans-Ligustilide. The cyclodextrin or its derivative consists of α-cyclodextrin, °b-cyclodextrin, γ-cyclodextrin, hydroxyethyl-β-cyclodextrin, hydroxypropyl-β-cyclodextrin, dipropyl-β-cyclodextrin, methyl-β-cyclodextrin, glucose cyclodextrin, maltose cyclodextrin, carboxymethyl cyclodextrin, and sulfoalkyl cyclodextrin. The preparation method consists of (1) dissolving cyclodextrin or its derivative in the water to prepare 5-80 % solution; (2) adding cyclodextrin to the above solution according to the ratio; and (3) stirring, supersonic shaking or grinding, and drying solution The organic solvent consists of two or more of ethanol, carbinol, Pr alc., iso-Pr alc., ethylene glycol, propylene glycol, glycerol, and acetone. The medical preparation consists of infusion solns., point injections, powder injections, oral solns., syrups, tablets, capsules, granules, disperse tablets, and oral disintegrating tablets.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(injections containing inclusion complexes of ligustilide and cyclodextrins)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 17 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 18 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:15002 CAPLUS
DOCUMENT NUMBER: 144:114630
TITLE: Method for sterile filtration of viscous pharmaceutical compositions
INVENTOR(S): Sees, Julieanne Patricia
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006000913	A1	20060105	WO 2005-IB2076	20050613

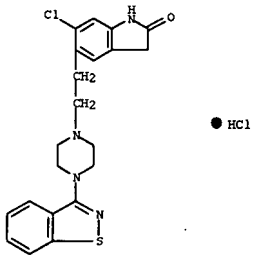
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-582200P P 20040623

AB The invention is directed to a method of using a volatile co-solvent to lower the viscosity of pharmaceutical compns., for example those comprising a ziprasidone/sulfobutyl cyclodextrin complex, so as to facilitate sterile filtration, without permanently altering the properties of the pharmaceutical composition or its active ingredient. After the filtration the co-solvent is removed by evaporation The invention also covers a mixture comprising ziprasidone or pharmaceutically acceptable salt thereof complexed with cyclodextrin in water containing from 1 to 30% ethanol by volume For example, a solution was prepared containing ziprasidone mesylate, 80 mgA/mL, and 56% sulfonyl Bu ether β-cyclodextrin (SBECD) in sterile water for injection. Ethanol cosolvent was added in amts. up to 30% by volume to determine effects on viscosity and filtration feasibility. The d. of the solution of the ziprasidone/SBECD, determined by pycnometry, was 1.297 ± 0.0904 g/mL. The glass transition temperature, viscosity, shear stress, ellipticity and absorbance of the pre-lyophilization solution of ziprasidone/SBECD were determined Evaporation of the cosolvent was performed on a standard laboratory rotary evaporator (rotovap) apparatus after freezing of the suspension with an acetone-dry ice bath. The sample remained submerged in the bath during evaporation of cosolvent. The viscosity of the solution before addition of the ethanol was 95 cp and the viscosity of the 30% ethanol solution was 43 cp.

IT 122883-93-6D, Ziprasidone hydrochloride, hydroxypropyl or sulfobutyl ethers, complexes with ziprasidone
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(sterile filtration of viscous pharmaceutical compns.)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1351058 CAPLUS
DOCUMENT NUMBER: 144:74867
TITLE: Ziprasidone dosage forms
INVENTOR(S): Vibhuthi, Gouri Shankar; Agrawal, Sudeep Kumar; Reddy, Billa Praveen; Krishnan, Kiran; Mohan, Mailatur Sivaraman
PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Ltd., India; Dr. Reddy's Laboratories, Inc.
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123086	A2	20051229	WO 2005-US20417	20050609
WO 2005123086	A3	20060202		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1753400	A2	20070221	EP 2005-760369	20050609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: IN 2004-CH546 A 20040611
WO 2005-US20417 W 20050609

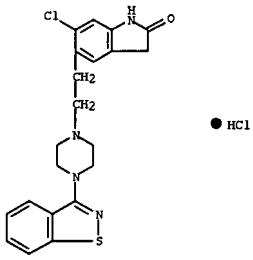
AB Pharmaceutical formulations of ziprasidone comprise ziprasidone or a salt thereof, in the form of particles having a mean particle size > 90 μm and an excipient. Thus, a dry mixture contained ziprasidone 20, anhydrous lactose 36.2, starch 9, and silica 0.75 mg/capsule.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ziprasidone dosage forms)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 19 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

L3 ANSWER 20 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1313982 CAPLUS
DOCUMENT NUMBER: 144:57359
TITLE: Preparation of an anhydrate form of ziprasidone hydrochloride
INVENTOR(S): Zetina-Rocha, Carlos; Rey, Allan W.; Horne, Stephen E.
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 4 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005277651	A1	20051215	US 2004-928139	20040830
US 7087611	B2	20060808		
CA 2471219	A1	20051214	CA 2004-2471219	20040614

PRIORITY APPLN. INFO.: CA 2004-2471219 A 20040614

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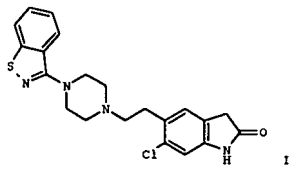


I

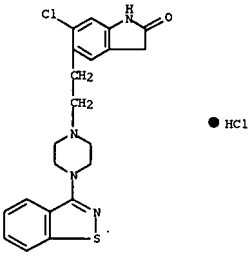
AB The anhydrate form of ziprasidone-HCl (I) was prepared from the base in EtOH with addition of HCl in isopropanol.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of an anhydrate form of ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 20 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

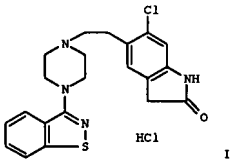
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1224322 CAPLUS
DOCUMENT NUMBER: 143:483095
TITLE: Preparation of amorphous ziprasidone hydrochloride
INVENTOR(S): Zetina-Rocha, Carlos; Rey, Allan W.; Buck, Matthew A.; Derdour, Lotfi; Horne, Stephen E.; Murthy, Keshava K. S.
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005256139	A1	20051117	US 2004-884991	20040707
CA 2467538	A1	20051114	CA 2004-2467538	20040514
WO 2005111032	A1	20051124	WO 2004-CA981	20040707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1751147	A1	20070214	EP 2004-737920	20040707
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: CA 2004-2467538 A 20040514
WO 2004-CA981 W 20040707

GI



I

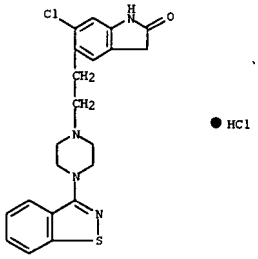
AB The present invention relates to a new and useful amorphous form of ziprasidone hydrochloride (I). I amorphous form was prepared by treatment of the base in heptanes with HCl gas.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amorphous ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

L3 ANSWER 21 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 22 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1216406 CAPLUS
DOCUMENT NUMBER: 143:466204
TITLE: Preparation of a ziprasidone hydrochloride polymorph
INVENTOR(S): Ventimiglia, Gianpiero; Allegrini, Pietro; Castaldi, Graziano
PATENT ASSIGNEE(S): Dipharma S.p.A., Italy; Lundbeck Pharmaceuticals Italy S.p.A.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108395	A1	20051117	WO 2005-EP52091	20050510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1751148	A1	20070214	EP 2005-740101	20050510
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: IT 2004-MI944 A 20040511
WO 2005-EP52091 W 20050510

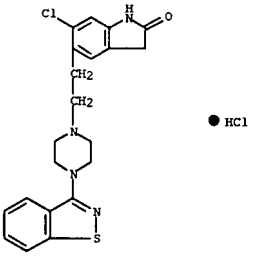
AB A new crystalline form of ziprasidone-HCl hemihydrate, a process for its preparation, its use for the purification of ziprasidone, its pharmaceutical compns.
and their use in therapy are disclosed.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of ziprasidone hydrochloride polymorph)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 22 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1200831 CAPLUS
DOCUMENT NUMBER: 143:446796
TITLE: Solubilization of hydrophobic drugs by carboxylic acids
INVENTOR(S): Barbera, Gary; Doshi, Chetan Chhabildas; Patel, Mahendra R.; Davila, Pablo; Patel, Satishkumar Ambalal
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005249814	A1	20051110	US 2005-124343	20050506
WO 2005107719	A2	20051117	WO 2005-EP4885	20050504
WO 2005107719	A3	20060803		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1744750	A2	20070124	EP 2005-746868	20050504

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

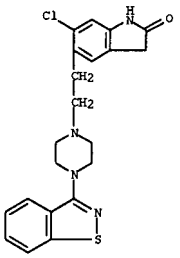
PRIORITY APPLN. INFO.: US 2004-568712P P 20040506
WO 2005-EP4885 W 20050504

AB A pharmaceutical composition having improved solubility comprises a hydrophobic drug or its salt and a compound having at least 1 carboxylic acid moiety, wherein the molar ratio of the compound having at least one carboxylic acid moiety to the hydrophobic drug or salt thereof is 0.1:1-25:1. The pharmaceutical composition exhibits rapid dissoln. upon contact with physiol. solvents, such as water, saliva or gastrointestinal fluids. Anhydrous capsules contained ziprasidone-HCl 25.61, citric acid 35.0, lactose 29.38, starch 3.53, calcium silicate 5.89, and Mg stearate 0.59%.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solubilization of hydrophobic drugs by carboxylic acids)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 23 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

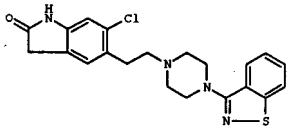
L3 ANSWER 24 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1154548 CAPLUS
DOCUMENT NUMBER: 143:427349
TITLE: Preparation of amorphous ziprasidone hydrochloride
INVENTOR(S): Tyagi, Om Dutt; Srivastava, Tushar Kumar; Chavan, Yuvraj Atmaram
PATENT ASSIGNEE(S): Lupin Limited, India
SOURCE: PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100348	A1	20051027	WO 2005-IN115	20050415

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IN 2004MU00450	A	20061027	IN 2004-MU450	20040415

PRIORITY APPLN. INFO.: IN 2004-MU450 A 20040415
GI



● HCl

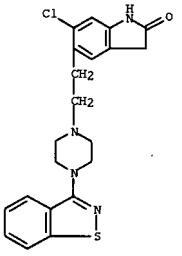
I

AB A process for preparation of ziprasidone hydrochloride (I) which is in amorphous form. The process comprises providing a I solution in a mixture of alc. solvent and acetonitrile and spray drying the solution of I.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of amorphous ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 24 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

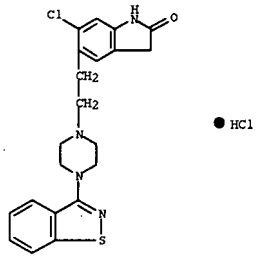
ACCESSION NUMBER: 2005:1077141 CAPLUS
DOCUMENT NUMBER: 143:398801
TITLE: Contrasting contribution of 5-hydroxytryptamine 1A receptor activation to neurochemical profile of novel antipsychotics: Frontocortical dopamine and hippocampal serotonin release in rat brain
AUTHOR(S): Assie, Marie-Bernadette; Ravailhe, Veronique; Faucillon, Valerie; Newman-Tancredi, Adrian
CORPORATE SOURCE: Centre de Recherche Pierre Fabre, Castres, Fr.
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 315(1), 265-272
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Several novel antipsychotics, such as aripiprazole, bifeprunox, SSR181507 [(3-exo)-8-benzoyl-N-(((2S)7-chloro-2,3-dihydro-1,4-benzodioxin-1-yl)methyl)-8-azabicyclo(3.2.1)octane-3-methanamine], and SLV313 [1-(2,3-dihydro-benzo[1,4]dioxin-5-yl)-4-[5-(4-fluorophenyl)-pyridin-3-ylmethyl]-piperazine], activate serotonin 5-hydroxytryptamine (5-HT)1A receptors. Such activity is associated with enhanced treatment of neg. symptoms and cognitive deficits, which may be mediated by modulation of cerebral dopamine and serotonin levels. We employed microdialysis coupled to high pressure liquid chromatog. with electrochem. detection to examine 5-HT1A receptor activation in the modulation of extracellular dopamine in medial prefrontal cortex and serotonin in hippocampus of freely moving rats. The above compds. were compared with drugs that have less interaction with 5-HT1A receptors (clozapine, nemonapride, ziprasidone, olanzapine, risperidone, and haloperidol). Hippocampal 5-HT was decreased by bifeprunox, SSR181507, SLV313, sarizotan, and nemonapride, effects similar to those seen with the 5-HT1A agonist, (+)-8-hydroxy-2-(di-n-propylamino)tetralin [(+)8-OH-DPAT], consistent with activation of 5-HT1A autoreceptors. These decreases were reversed by the selective 5-HT1A antagonist, WAY100635 [N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(2-pyridinyl)cyclohexanecarboxamide]. In contrast, haloperidol, risperidone, clozapine, olanzapine, ziprasidone, and aripiprazole did not significantly modify hippocampal serotonin levels. In medial prefrontal cortex, dopamine levels were increased by SSR181507, SLV313, sarizotan, and (+)8-OH-DPAT. These effects were reversed by WAY100635, indicating mediation by 5-HT1A receptors. In contrast, the increases in dopamine levels induced by clozapine, risperidone, olanzapine, and ziprasidone were not blocked by WAY100635, consistent with predominant influence of other mechanisms in the actions of these drugs. Haloperidol, nemonapride, and the D2 partial agonists, aripiprazole and bifeprunox, did not significantly alter dopamine release. Taken together, these data demonstrate the diverse contribution of 5-HT1A receptor activation to the profile of antipsychotics and suggest that novel drugs selectively targeting D2 and 5-HT1A receptors may present distinctive therapeutic properties.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(contrasting contribution of 5HT1A receptor activation to neurochem. profile of novel antipsychotics based on frontocortical dopamine and hippocampal serotonin release in rat brain)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 25 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 26 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1004739 CAPLUS
DOCUMENT NUMBER: 143:286452
TITLE: Condensation process for the preparation of ziprasidone base and its salts
INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Khanna, Mahivir Singh; Ahuja, Seema
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085240	A2	20050915	WO 2005-IB512	20050228
WO 2005085240	A3	20051201		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1720867	A2	20061115	EP 2005-708625	20050228

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

PRIORITY APPLN. INFO.: IN 2004-DE307 A 20040227
IN 2004-DE1395 A 20040728
WO 2005-IB512 W 20050228

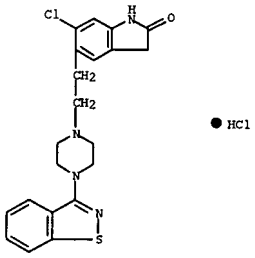
OTHER SOURCE(S): CASREACT 143:286452; MARPAT 143:286452

AB Substantially pure ziprasidone and its salts are prepared by the condensation of a 5-(2-leaving-group-substituted-ethyl)-6-chlorooxindole [e.g., 5-(2-chloroethyl)-6-chlorooxindole] with 1-(1,2-benzisothiazol-3-yl)piperazine in the presence of base, heating the mixture to approx. 50°, and isolating ziprasidone base. The preparation of acid addition salts of ziprasidone (e.g., ziprasidone hydrochloride) by neutralization is also described.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(condensation process for the preparation of ziprasidone base and its salts)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 26 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



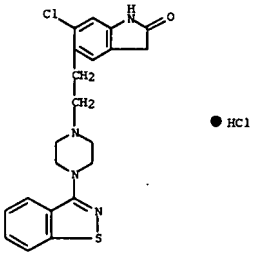
L3 ANSWER 27 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:952610 CAPLUS
DOCUMENT NUMBER: 143:216864
TITLE: Development and validation of spectrophotometric method for the estimation of ziprasidone HCl
AUTHOR(S): Choudhary, Pankaj K.; Sharma, P. K.; Mathur, Arun K.; Ramnani, Prakash; Jain, Prashant
CORPORATE SOURCE: Bundelkhand University Jhansi, India
SOURCE: Oriental Journal of Chemistry (2005), 21(1), 159-160
CODEN: OJCHEG; ISSN: 0970-020X
PUBLISHER: Oriental Scientific Publishing Co.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new simple, sensitive spectrophotometric method in UV region was developed for the determination of ziprasidone HCl in bulk and in dosage form.

Ziprasidone HCl shown maximum absorbance at 316 nm in MeOH. Beers law obeyed in the concentration range of 2-200 μg/mL. Result of the anal. were validated statistically and by recovery studies.

IT 122883-93-6, Ziprasidone hydrochloride
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(spectrophotometric estimation of ziprasidone HCl)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:638720 CAPLUS
DOCUMENT NUMBER: 143:139204
TITLE: Ziprasidone formulations
INVENTOR(S): Boehm, Garth; Dundon, Josephine
PATENT ASSIGNEE(S): Alpharma, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065660	A2	20050721	WO 2004-US43886	20041223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2552126	A1	20050721	CA 2004-2552126	20041223
US 2005163858	A1	20050728	US 2004-22041	20041223
EP 1703898	A2	20060927	EP 2004-815877	20041223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

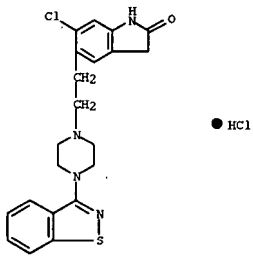
PRIORITY APPLN. INFO.: US 2003-533594P P 20031231
WO 2004-US43886 W 20041223

AB Ziprasidone formulations, including controlled-release formulations, formulations containing ziprasidone dihydrochloride, and combinations of ziprasidone and an addnl. active agent are described.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(ziprasidone formulations)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 28 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 29 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:588956 CAPLUS
DOCUMENT NUMBER: 143:103263
TITLE: Process for the preparation of the polymorphic crystalline form B2 of ziprasidone base
INVENTOR(S): Aronhime, Judith; Mendelovici, Marioara; Koltai, Tamas; Moshkovits-Kapstan, Rinat; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061493	A2	20050707	WO 2004-US43127	20041220
WO 2005061493	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2550485	A1	20050707	CA 2004-2550485	20041220
US 2005197347	A1	20050908	US 2004-18489	20041220
EP 1592688	A2	20051109	EP 2004-815237	20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1934108	A	20070321	CN 2004-80041672	20041220

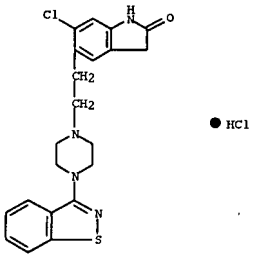
PRIORITY APPLN. INFO.: US 2003-531244P P 20031218
WO 2004-US43127 W 20041220

AB A process for the preparation of the polymorphic crystalline form B2 of 5-[2-[4-(3,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (ziprasidone base) is presented. Processes for preparing pharmaceutically acceptable salts, particularly ziprasidone hydrochlorides and mesyl salts, are also presented.

IT 122883-93-6, Ziprasidone hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polymorphic crystalline form B2 of ziprasidone base)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 29 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

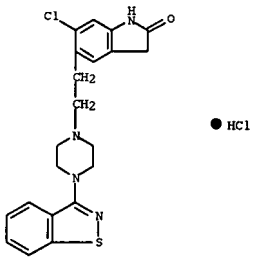


L3 ANSWER 30 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:504922 CAPLUS
DOCUMENT NUMBER: 143:48068
TITLE: Water-soluble inclusion complex of ziprasidone and its salt and its formulation
INVENTOR(S): Qu, Wen; Bao, Yongchu; Chen, Qinghua; Zhu, Baoquan; Sui, Qiang; Wang, Xiaomei; Shi, Huilin
PATENT ASSIGNEE(S): Shanghai Research Institute of Pharmaceutical Industry, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1424037	A	20030618	CN 2002-155139	20021217
WO 2004054621	A1	20040701	WO 2003-CN979	20031118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003303019	A1	20040709	AU 2003-303019	20031118
PRIORITY APPLN. INFO.:			CN 2002-155139 A	20021217
			WO 2003-CN979 W	20031118

AB The water-soluble inclusion complex is prepared from ziprasidone or its salt and cyclodextrin derivative (at a molar ratio of 0.1-100:1) and used to prepare the solution, freeze dried prepns., tablet, capsule, granule, pill, etc. The ziprasidone salt is the one of mesylate, HCl, benzenesulfonate, ethanesulfonate, tartrate, naphthalenesulfonate, etc. The cyclodextrin derivative is hydroxypropyl-beta-cyclodextrin, sulfobutyl-beta-cyclodextrin, etc.
IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(water-soluble inclusion complex of ziprasidone and its salt and its formulation)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 30 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

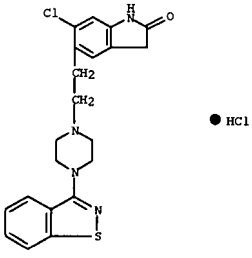


L3 ANSWER 31 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:395306 CAPLUS
DOCUMENT NUMBER: 142:430311
TITLE: Processes for preparation of ziprasidone from the condensation of 1-[(1,2-benzisothiazol-3-yl)]piperazine with 5-(2-chloroethyl)-6-chloro-1,3-dihydroindol-2(2H)-one in the presence of a base and a non-basic catalyst
INVENTOR(S): Pilarsky, Gideon; Shenkar, Natalia; Mendelovici, Marioara; Nidam, Tamar; Balanov, Anna
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040160	A2	20050506	WO 2004-US35604	20041025
WO 2005040160	A3	20050707		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2543805	A1	20050506	CA 2004-2543805	20041025
US 2005143397	A1	20050630	US 2004-973498	20041025
EP 1628973	A2	20060301	EP 2004-796522	20041025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1898236	A	20070117	CN 2004-80038146	20041025
IN 2006DN02300	A	20070413	IN 2006-DN2300	20060426
PRIORITY APPLN. INFO.:			US 2003-514096P P	20031024
			US 2003-515328P P	20031028
			WO 2004-US35604 W	20041025

OTHER SOURCE(S): CASREACT 142:430311
AB Processes for preparation of ziprasidone from the condensation of 1-[(1,2-benzisothiazol-3-yl)]piperazine with 5-(2-chloroethyl)-6-chloro-1,3-dihydroindol-2(2H)-one in the presence of a base (e.g., sodium carbonate) and a non-basic catalyst (e.g., sodium sulfate) are described.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(processes for preparation of ziprasidone from condensation of 1-[(1,2-benzisothiazol-3-yl)]piperazine with 5-(2-chloroethyl)-6-chloro-1,3-dihydroindol-2(2H)-one in presence of base and non-basic catalyst using)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 31 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 32 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:185394 CAPLUS
DOCUMENT NUMBER: 142:280230
TITLE: A process for preparation of (benzisothiazolylpiperazinylethyl)indolone derivative (ziprasidone hydrochloride), useful as antipsychotic agent
INVENTOR(S): Reddy, Manne Satyanarayana; Venkatraman, Sundaram; Rajan, Srinivasan Thirumalai; Narsapur, Sharat Pandurang; Kharkar, Manoj Ramesh; Devarkonda, Surya Narayana; Reddy, Yarraguntla Sesha; Srinivasulu, Rangineni; Shukla, Deepak K.; Lakhekar, Pushkar B.; Rao, Uppala Venkata Bhaskar; Venkatesh, Mummadi
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005049295	A1	20050303	US 2004-868506	20040614
IN 2004CH00222	A	20051202	IN 2004-CH222	20040312
PRIORITY APPLN. INFO.:			IN 2003-MA488 A	20030612
			IN 2004-CH222 A	20040312

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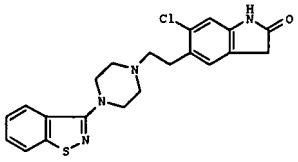

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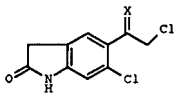
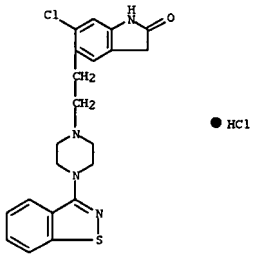


II

AB The invention relates to improved processes for the preparation of (benzisothiazolylpiperazinylethyl)indolone hydrochloride derivative (I.HCl), useful as antipsychotic agent (no biol. data). Compound I.HCl (ziprasidone hydrochloride) was prepared via reduction of (chloroacetyl)indole derivative II (X =

L3 ANSWER 32 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
O), amination of the obtained (chloroethyl)indole deriv. II (X is absent) by 3-(1-piperazinyl)-1,2-benzisothiazole, and subsequent hydrochloride salt formation of the formed ziprasidone.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of ziprasidone hydrochloride useful as antipsychotic agent)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

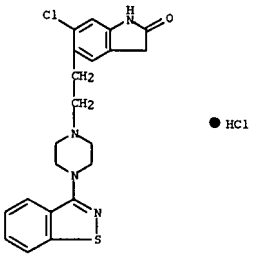


L3 ANSWER 33 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:160836 CAPLUS
DOCUMENT NUMBER: 142:225693
TITLE: Polymorphic forms of ziprasidone HCl and processes for their preparation
INVENTOR(S): Koltai, Tamas; Hedvati, Lilach; Mendelovici, Marioara; Nidam, Tamar
PATENT ASSIGNEE(S): Israel
SOURCE: U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043324	A1	20050224	US 2004-860926	20040603
US 2005059680	A1	20050317	US 2004-860864	20040603
CA 2528100	A1	20050421	CA 2004-2528100	20040603
WO 2005035531	A1	20050421	WO 2004-US18018	20040603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1546146	A1	20050629	EP 2004-754586	20040603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			US 2003-475806P P	20030603
			US 2003-487913P P	20030716
			US 2003-494970P P	20030813
			US 2003-528346P P	20031209
			US 2004-571997P P	20040517
			WO 2004-US18018 W	20040603

AB Provided are various polymorphic forms of ziprasidone HCl and processes for their preparation The crystalline form of ziprasidone HCl is characterized by a
powder X-ray diffraction pattern. The present invention provides a process for preparing ziprasidone HCl Form E, comprising combining aqueous HCl
with ziprasidone base in the presence of Et acetate or acetonitrile to obtain a slurry; maintaining the slurry to obtain ziprasidone HCl; and recovering the ziprasidone HCl.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(polymorphic forms of ziprasidone HCl and processes for their preparation)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 33 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 34 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158530 CAPLUS
DOCUMENT NUMBER: 142:246075
TITLE: Crystalline ziprasidone HCl
INVENTOR(S): Mendelovici, Marioara; Koltai, Tamas; Aronhime, Judith; Balanov, Anna; Gome, Boaz; Shenkar, Natalia; Amir, Ehud
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016325	A2	20050224	WO 2004-US18017	20040603
WO 2005016325	A3	20050324		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2528192	A1	20050224	CA 2004-2528192	20040603
US 2005059680	A1	20050317	US 2004-860864	20040603
CA 2528100	A1	20050421	CA 2004-2528100	20040603
WO 2005035531	A1	20050421	WO 2004-US18018	20040603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1530570	A2	20050518	EP 2004-754585	20040603
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EP 1546146	A1	20050629	EP 2004-754586	20040603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.:	US 2003-475806P	P	20030603
	US 2003-487913P	P	20030716
	US 2003-494970P	P	20030813
	US 2003-528346P	P	20031209
	US 2004-571997P	P	20040517
	WO 2004-US18017	W	20040603
	WO 2004-US18018	W	20040603

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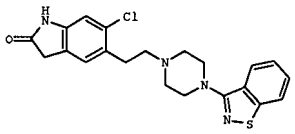
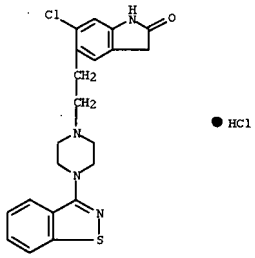
L3 ANSWER 34 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

AB Provided are crystalline ziprasidone (I)-HCl and processes for its preparation
Crystal forms of I-HCl were prepared from solvents such as toluene, chlorobenzene-methanol, di-Et carbonate, acetonitrile, and others.
IT 122883-93-6, Ziprasidone hydrochloride
RL: FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); FORM (Formation, nonpreparative); PROC (Process)
(crystalline forms of ziprasidone HCl)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

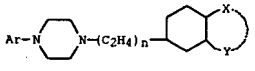


L3 ANSWER 35 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1015886 CAPLUS
DOCUMENT NUMBER: 141:420463
TITLE: Treatment of bipolar disorders and associated symptoms using piperazinyl-heterocyclic compounds, especially ziprasidone
INVENTOR(S): Giller, Earl Laux, Jr.; Harrigan, Edmund; Heym, James Herbert; Romano, Steven Joseph; Seeger, Thomas Francis
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100957	A1	20041125	WO 2004-IB1601	20040512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004237961	A1	20041125	AU 2004-237961	20040512
CA 2525326	A1	20041125	CA 2004-2525326	20040512
US 2005038036	A1	20050217	US 2004-843915	20040512
EP 1626723	A1	20060222	EP 2004-732360	20040512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010222	A	20060509	BR 2004-10222	20040512
CN 1780626	A	20060531	CN 2004-80011261	20040512

PRIORITY APPLN. INFO.:	US 2003-471450P	P	20030516
	WO 2004-IB1601	A	20040512

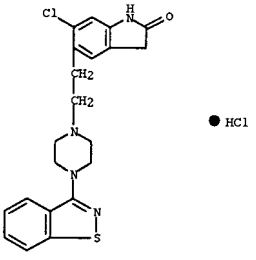
OTHER SOURCE(S): MARPAT 141:420463
GI



I

AB The present invention relates to a method for treatments relating to bipolar disorder in a mammal, including a human, the treatments including treatment of rapid-cycling bipolar disorder, treatment of symptoms of bipolar disorder selected from the group consisting of acute mania and depression, treatment for effecting mood stabilization; treatment for preventing relapse into bipolar episodes, and for the treatment of suicidal thoughts and tendencies associated with bipolar disorder, comprising
administering to said mammal an effective amount of piperazinyl-heterocyclic compds. I (Ar = benzoisothiazolyl or its oxide or dioxide, optionally

L3 ANSWER 35 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
substituted by fluoro, chloro, etc.; quinolyl; etc.; n = 1, 2; X and Y together with the Ph to which they are attached form quinolyl; 2-hydroxyquinolyl; benzothiazolyl, etc.), or a pharmaceutically acceptable acid addn. salt thereof. The compd. is esp. ziprasidone. The receptor binding and neurotransmitter uptake inhibition profile for ziprasidone are given and various I compds. and intermediates were prepd.
IT 122883-93-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of bipolar disorders and associated symptoms using piperazinyl-heterocyclic compds., especially ziprasidone)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



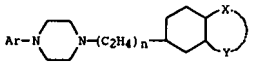
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1015885 CAPLUS
DOCUMENT NUMBER: 141:420462
TITLE: Method for enhancing cognition and treating behavioral disturbances using piperazinyl-heterocyclic compounds, especially ziprasidone
INVENTOR(S): Romano, Steven Joseph; Swift, Rachel Heather
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100956	A1	20041125	WO 2004-IB1600	20040505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2525323	A1	20041125	CA 2004-2525323	20040505
EP 1626722	A1	20060222	EP 2004-731234	20040505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006528236	T	20061214	JP 2006-530660	20040505
US 2005014764	A1	20050120	US 2004-846797	20040514
PRIORITY APPLN. INFO.:			US 2003-471379P P	20030516
			WO 2004-IB1600 W	20040505

OTHER SOURCE(S): MARPAT 141:420462
GI



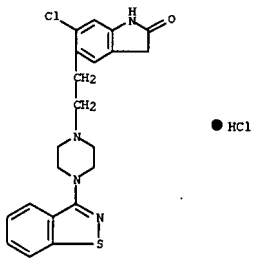
I

AB The present invention, in one aspect, relates to a method of using piperazinyl-heterocyclic compds. I (Ar = benzoisothiazolyl or its oxide or dioxide, optionally substituted by fluoro, chloro, etc.; quinolyl; etc.; n = 1, 2; X and Y together with the Ph to which they are attached form quinolyl; 2-hydroxyquinolyl; benzothiazolyl, etc.), or a pharmaceutically acceptable acid addition salt thereof, for enhancing cognition in a mammal, including a human, for example a mammal afflicted with psychosis, autism, dementia, or mental retardation, comprising administering an effective amount of I, for example ziprasidone, to the mammal. In another aspect, the present invention is directed to a method for reducing or ameliorating in a mammal, including a human, afflicted with a disorder or condition selected from autism, mental retardation, obsessive-compulsive disorder, and dementia, pos. symptoms (e.g. excessive aggression, disinhibited

sexual behavior, inappropriate sexual behavior, agitation, compulsive behavior such as head banging, lip biting, self mutilation, or stereotypic behavior) assocd. with the aforementioned disorders or conditions, which method comprises administering an effective amt. of I, for example ziprasidone, to the mammal. In another aspect, the present invention is directed to a method for treating pediatric bipolar disorder in a mammal, including a human, which method comprises administering an effective amt. of I, for example ziprasidone, to the mammal. The receptor binding and neurotransmitter uptake inhibition profile for ziprasidone are given and various I compds. and intermediates were prepd.

IT 122883-93-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(enhancing cognition and treating behavioral disturbances using piperazinyl-heterocyclic compds., especially ziprasidone)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

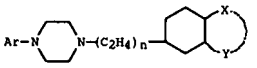


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1015884 CAPLUS
DOCUMENT NUMBER: 141:420461
TITLE: Anxiety treatment with piperazinyl-heterocyclic compounds, especially ziprasidone
INVENTOR(S): Romano, Steven Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100955	A1	20041125	WO 2004-IB1561	20040505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2525868	A1	20041125	CA 2004-2525868	20040505
EP 1633361	A1	20060315	EP 2004-731229	20040505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010419	A	20060530	BR 2004-10419	20040505
US 2005004138	A1	20050106	US 2004-845824	20040514
PRIORITY APPLN. INFO.:			US 2003-471383P P	20030516
			WO 2004-IB1561 W	20040505

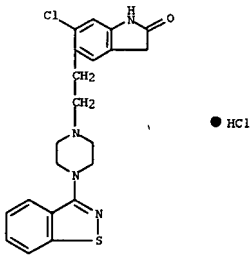
OTHER SOURCE(S): MARPAT 141:420461
GI



I

AB The present invention, in one aspect, relates to a method of using piperazinyl-heterocyclic compds. I (Ar = benzoisothiazolyl or its oxide or dioxide, optionally substituted by fluoro, chloro, etc.; quinolyl; etc.; n = 1, 2; X and Y together with the Ph to which they are attached form quinolyl; 2-hydroxyquinolyl; benzothiazolyl, etc.), or a pharmaceutically acceptable acid addition salt thereof, for treating, in a mammal, including a
human, situational anxiety, for example, anxiety experienced prior to medical procedures (e.g., surgery), public speaking, anxiety associated with swimming or water, anxiety associated with travel (e.g., air travel), or anxiety associated with specific phobias (snakes, spider, rats, sight of blood), comprising administering a pharmaceutically effective amount of I to the mammal. In another aspect, the present invention is directed to a method of using piperazinyl-heterocyclic compds. I for treating, in a

L3 ANSWER 37 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
mammal, including a human, treatment-resistant anxiety, which method comprises administering a pharmaceutically effective amt. of I to the mammal. Various I compds. were prepd. Subjects aged 18 to 55 years who are exhibiting an acute fear of particular objects or situations and who are diagnosed with Specific Phobia were administered ziprasidone in doses ranging from about 40 mg, about 60 mg, about 80 mg, about 100 mg/day, up to about 200 mg/day in single or multiple dose regimens. When switched to ziprasidone, the subjects exhibit a favorable response to treatment, as characterized by a significant redn. in anxiety that previously had been provoked by exposure to the feared object or situation, with a marked decrease in avoidance behavior. Ziprasidone is well tolerated, with a general absence of side effects.
IT 122883-93-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anxiety treatment with piperazinyl-heterocyclic compds., especially ziprasidone)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1015883 CAPLUS
DOCUMENT NUMBER: 141:420460
TITLE: Treatment of psychotic and depressive disorders by administering piperazinyl-heterocyclic compounds
INVENTOR(S): Romano, Steven Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100954	A1	20041125	WO 2004-IB1546	20040503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2525866	A1	20041125	CA 2004-2525866	20040503
EP 1633360	A1	20060315	EP 2004-730896	20040503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010378	A	20060613	BR 2004-10378	20040503
JP 2007502856	T	20070215	JP 2006-530648	20040503
US 2005004137	A1	20050106	US 2004-844079	20040512
PRIORITY APPLN. INFO.:			US 2003-471380P P	20030516
			WO 2004-IB1546 W	20040503

OTHER SOURCE(S): MARPAT 141:420460
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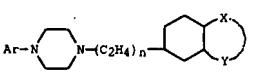
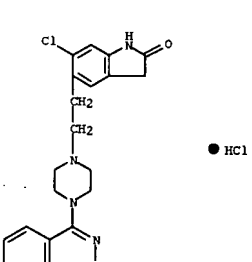


I

AB The present invention relates to a method for treating a psychiatric conditions and disorders selected from delusional disorder, psychosis associated with dementia, such as psychosis associated with Alzheimer's disease,
psychosis associated with an organic brain syndrome (e.g. stroke, or a viral infection such as an HIV infection), and drug-induced psychosis in mammals, including humans, comprising administering an effective amount of I (Ar = benzoisothiazolyl or its oxide or dioxide, optionally substituted by fluoro, chloro, etc.; quinolyl; etc.; n = 1, 2; X and Y together with the Ph to which they are attached form quinolyl; 2-hydroxyquinolyl; benzothiazolyl, etc.), or a pharmaceutically acceptable acid addition salt thereof. The present invention also relates to a method for treating a depressive disorder selected from melancholic depression, severe

L3 ANSWER 38 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
depression, psychotic depression, and treatment-resistant depression in mammals, including humans, comprising administering I or a pharmaceutically acceptable acid addn. salt of such compd. The receptor binding and neurotransmitter uptake inhibition profile for ziprasidone are given and various I compds. were prepd.
IT 122883-93-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of psychotic and depressive disorders by administering piperazinyl-heterocyclic compds.)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

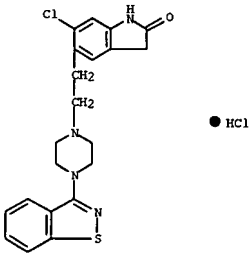
L3 ANSWER 39 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:493702 CAPLUS
DOCUMENT NUMBER: 141:54361
TITLE: Polymorphic forms of ziprasidone and its hydrochloride
INVENTOR(S): Reddy, Manne Satyanarayana; Srinivasan, Thirumalai Rajan; Uppala, Venka Bhaskara Rao; Venkatesh, Mummadi; Prabhakar, Akundi Surya
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories Inc.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050655	A1	20040617	WO 2003-US38489	20031204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MA00907	A	20050304	IN 2002-MA907	20021204
AU 2003300814	A1	20040623	AU 2003-300814	20031204
US 2004152711	A1	20040805	US 2003-729837	20031204
PRIORITY APPLN. INFO.:			IN 2002-MA907 A	20021204
			WO 2003-US38489 W	20031204

AB The present invention is related to crystalline forms of ziprasidone and its hydrochloride salt and an amorphous form of ziprasidone hydrochloride and the process for the preparation thereof. The crystalline forms and amorphous form of the invention are suitable for pharmaceutical purposes in the treatment of psychosis. The processes of the invention are simple, non-hazardous and com. suitable. Thus, 50 g 5-(2-chloroethyl)-6-chlorooxindole, 47.5 g 3-(1-piperazinyl)-1,2-benzisothiazole and 500 mL cyclohexane were charged into an autoclave, followed by adding sodium carbonate 46, sodium iodide 3.2, and tetrabutylphosphonium bromide 14.8 g and the reaction mixture was maintained at 95-102° and 2.5 kg/cm2 till the reaction was completed, cooled to 300°, treated with 250 mL H2O, filtered to give, after washing with 100 mL water, the wet compound The wet compound was suspended in water, filtered, washed water, resuspended in acetone, filtered, washed with acetone, filtered, and dried at 60-65° to give 65.7 g ziprasidone base. Ziprasidone (5 g) and 50 mL acetic acid were placed into a round bottom flask and heated to 45-50°, treated slowly with 25 mL aqueous HCl over 20 min, refluxed, and treated with 10 mL water, followed by addition of 50 mL isopropanol. The reaction mass was cooled to 50°, followed by distilling off the solvent completely under vacuum., to give amorphous form of ziprasidone hydrochloride.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polymorphic forms of ziprasidone and its hydrochlorides)

L3 ANSWER 39 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:370932 CAPLUS
DOCUMENT NUMBER: 140:375190
TITLE: Preparation of oxindole substituted piperazine derivatives for the treatment of schizophrenia and central nervous system disorders
INVENTOR(S): Forrest, George William; Hamilton, Harriet Wall
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037820	A1	20040506	WO 2003-IB4616	20031016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2498215	A1	20040506	CA 2003-2498215	20031016
AU 2003267801	A1	20040513	AU 2003-267801	20031016
EP 1558608	A1	20050803	EP 2003-748497	20031016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015809	A	20050913	BR 2003-15809	20031016
JP 2006507282	T	20060302	JP 2004-546274	20031016
US 2004142933	A1	20040722	US 2003-695594	20031028
PRIORITY APPLN. INFO.:			US 2002-421707P P	20021028
			WO 2003-IB4616 W	20031016

OTHER SOURCE(S): MARPAT 140:375190
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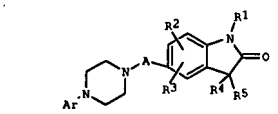

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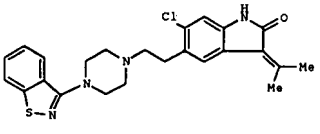


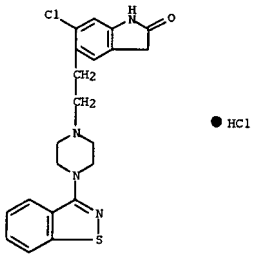
II

L3 ANSWER 40 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The invention relates to compds. of the formula (I), wherein Ar, A, R, R1, R2, R3, R4 and R5 are defined as in the specification, pharmaceutical compns. containing them and their use in the treatment of central nervous system disorders. Oxindole piperazine derivs. of formula I [Ar = benzisothiazolyl, benzisoxazolyl, naphthyl, pyridyl, quinolinyl, indazolyl, etc.; A = (CH2)n, etc.; n = 2-4; R1 = H, alkyl, aryl, etc.; R2, R3 = H, alkyl, alkoxy, halo, nitro, CN, OH, etc.; R4R5 = alkylidene, (substituted) spiro ring, etc.] are prepared for the treatment of central nervous system disorders. Thus, II was prepared from 6-chloro-5-(2-chloroethyl)-1,3-dihydroindol-2-one and 1,2-benzisothiazol-3-ylpiperazine. The Ki value of II was 1.3 nM against dopamine D2 receptor and 8.4 nM against serotonin 2A.

IT 122883-93-6, Ziprasidone hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxindole piperazine derivs. for the treatment of schizophrenia and central nervous system disorders)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 41 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678664 CAPLUS
DOCUMENT NUMBER: 139:214489
TITLE: Controlled synthesis of ziprasidone and compositions thereof
INVENTOR(S): Busch, Frank Robert; Grobin, Adam Worth; Howard, Harry Ralph, Jr.; Leeman, Kyle Robert
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070246	A1	20030828	WO 2003-IB642	20030217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475302	A1	20030828	CA 2003-2475302	20030217
AU 2003206035	A1	20030909	AU 2003-206035	20030217
EP 1476162	A1	20041117	EP 2003-702918	20030217
EP 1476162	B1	20070418		
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BR 2003007833	A	20041207	BR 2003-7833	20030217
CN 1635892	A	20050706	CN 2003-804246	20030217
JP 2005525347	T	20050825	JP 2003-569202	20030217
US 2004048876	A1	20040311	US 2003-368205	20030218
IN 2004DN01945	A	20050401	IN 2004-DN1945	20040707
ZA 2004006276	A	20050920	ZA 2004-6276	20040805
NO 2004003902	A	20040917	NO 2004-3902	20040917

PRIORITY APPLN. INFO.: US 2002-358806P P 20020220
US 2002-359038P P 20020221
US 2002-360459P P 20020227
WO 2003-IB642 W 20030217

OTHER SOURCE(S): CASREACT 139:214489

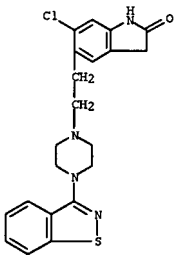
AB Ziprasidone containing ≤ 100 ppm des-chloro ziprasidone was prepared for use in treating schizophrenia, anxiety, migraine, Tourette syndrome, glaucoma, ischemic retinopathy, Alzheimer's dementia, bipolar disorders, mood disorders, acute stress disorder, dyskinesias, behavioral problems of mental retardation, conduct disorder, and autism. Methods for controlling impurities during the manufacturing process are described.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(controlled synthesis of ziprasidone)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 41 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:678291 CAPLUS
DOCUMENT NUMBER: 139:202503
TITLE: Osmotic delivery system containing a polyethylene oxide and an osmagent
INVENTOR(S): Waterman, Kenneth C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003161882	A1	20030828	US 2003-352258	20030127

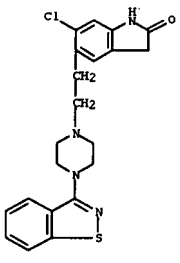
PRIORITY APPLN. INFO.: US 2002-353502P P 20020201

AB An osmotic pharmaceutical tablet is described which comprises a single-layer compressed core surrounded by a water permeable layer having a passageway. The single-layer core contains (i) a non-ripening drug having a solubility per dose less than about 1 mL -1 , (ii) about 2.0% to about
30% by weight of a polyethylene oxide having a weight-average, mol. weight from about
200,000 to about 7,000,000, (iii) an osmagent, and (iv) an optional disintegrant. Many osmotic tablets were prepared and their dissoln. rate were studied.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(osmotic delivery system containing polyethylene oxide and osmagent)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L3 ANSWER 43 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:610236 CAPLUS
DOCUMENT NUMBER: 139:154927
TITLE: Pharmaceutical compositions of amorphous dispersions of drugs and lipophilic microphase-forming materials
INVENTOR(S): Perlman, Michael Ellis; Shanker, Ravi Mysore; Babcock, Walter Christian; Friesen, Dwayne Thomas; Rabenstein, Mark David; Smithey, Daniel Tod
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063833	A1	20030807	WO 2003-IB335	20030128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474838	A1	20030807	CA 2003-2474838	20030128
EP 1469832	A1	20041027	EP 2003-700435	20030128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007344	A	20041214	BR 2003-7344	20030128
JP 2005523262	T	20050804	JP 2003-563527	20030128
US 2003228358	A1	20031211	US 2003-355747	20030131

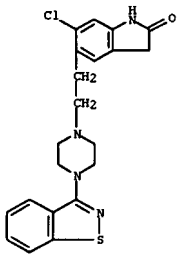
PRIORITY APPLN. INFO.: US 2002-354081P P 20020201
WO 2003-IB335 W 20030128

AB A pharmaceutical composition comprises a solid amorphous dispersion comprising
a low-solubility drug and a concentration-enhancing polymer and a lipophilic microphase-forming material. Alternatively, a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer is co-administered with a lipophilic microphase-forming material to an in vivo use environment. A spray solution was formed containing 2.5 wt% drug, 7.5
wt% HPMCAS-MF, and 90% acetone. The solution was spray-dried by directing a 2-fluid external-mix spray nozzle at 2.7 bar with a feed rate of 190 g/min into the stainless-steel chamber of a spray-dryer, by using nitrogen as the drying gas, maintained at a temperature of 137° at the inlet; the drying gas and evaporated solvent exited the drier at 49°. The resulting solid amorphous dispersion was collected and then dried in a solvent tray-drier by spreading the spray-dried particles onto polyethylene-lined trays to a depth of not more than 1 cm and then drying them at 40° for 25 h. After drying, dispersion 1 contained 25 wt% drug.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. of amorphous dispersions of drugs and lipophilic microphase-forming materials)

RN 122883-93-6 CAPLUS

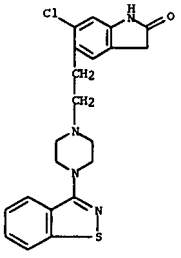
L3 ANSWER 43 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

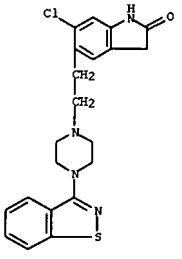
L3 ANSWER 44 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:536249 CAPLUS
DOCUMENT NUMBER: 139:207654
TITLE: A meta-analysis of the efficacy of second-generation antipsychotics
AUTHOR(S): Davis, John M.; Chen, Nancy; Glick, Ira D.
CORPORATE SOURCE: Psychiatric Institute, University of Illinois at Chicago, Chicago, IL, USA
SOURCE: Archives of General Psychiatry (2003), 60(6), 553-564
CODEN: ARGPAQ; ISSN: 0003-990X
PUBLISHER: American Medical Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Consensus panel recommendations regarding choice of an antipsychotic agent for schizophrenia differ markedly, but most consider 2nd-generation antipsychotics (SGAs) as a homogeneous group. It has been suggested that SGAs seem falsely more effective than 1st-generation antipsychotics (FGAs) as a result of reduced efficacy due to use of a high-dose comparator, haloperidol. This work performed (1) a meta-anal. of randomized efficacy trials comparing SGAs and FGAs, (2) comparisons between SGAs, (3) a dose-response anal. of FGAs and SGAs, and (4) an anal. of the effect of an overly high dose of an FGA comparator on efficacy. A literature search of clin. trials between Jan. 1953 and May 2002 of patients with schizophrenia was made from electronic databases, reference lists, posters, the Food and Drug Administration, and other unpublished data. The study included 124 randomized controlled trials with efficacy data on 10 SGAs vs FGAs and 18 studies of comparisons among SGAs. By using the Hedges-Olkin algorithm, the effect sizes of clozapine, amisulpride, risperidone, and olanzapine were 0.49, 0.29, 0.25, and 0.21 greater than those of FGAs, with P values of 2 + 10-8, 3 + 10-7, 2 + 10-12, and 3 + 10-9, resp. The remaining 6 SGAs were not significantly different from FGAs, although zotepine was marginally different. No efficacy difference was detected among amisulpride, risperidone, and olanzapine. No evidence was found that the haloperidol dose (or all FGA comparators converted to haloperidol-equivalent doses) affected these results when its effect was examined by drug or in a 2-way anal. of variance model in which SGA effectiveness is entered as a 2nd factor. It was concluded that some SGAs are more effective than FGAs, and, therefore, SGAs are not a homogeneous group.
IT 122883-93-6, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(meta-anal. of the efficacy of 2nd-generation antipsychotics such as)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 44 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 197 THERE ARE 197 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 45 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:720795 CAPLUS
DOCUMENT NUMBER: 138:280580
TITLE: FDA new drug approvals in 2001
AUTHOR(S): Zhao, Kang; He, Lan; Reiner, John
CORPORATE SOURCE: The College of Pharmaceuticals and Biotechnology, Tianjin University, Peop. Rep. China
SOURCE: Frontiers of Biotechnology & Pharmaceuticals (2002), 3, 400-413
CODEN: FBPRBL
PUBLISHER: Science Press New York Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review covering the 24 new drugs approved by the Food and Drug Administration in the year 2001. Therapeutics are grouped according to the following coded areas: (A) agents affecting neurotransmitters and cytokines, (B) antiinflammatory agents, (C) hormone related agents, (D) anti-infectious agents, and (E) miscellaneous agents. A synopsis for each drug includes a brief description of its medical utility, a mechanism of action if known, a chemical structure, and a pathway for its synthesis.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(FDA new drug approvals in 2001)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



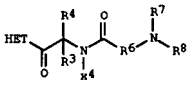
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:157109 CAPLUS
DOCUMENT NUMBER: 136:194278
TITLE: Use of growth hormone secretagogues for stimulating or increasing appetite
INVENTOR(S): Friedman, Hylar Lewis; Gardner, Mark James; Landschulz, William H.; Pan, Lydia Codetta
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1181933	A2	20020227	EP 2001-305039	20010608
EP 1181933	A3	20020410		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002293743	A	20021009	JP 2001-193024	20010626
ZA 2001005259	A	20030102	ZA 2001-5259	20010626
CA 2351902	A1	20011229	CA 2001-2351902	20010627
US 2002086865	A1	20020704	US 2001-893014	20010627
HU 200102696	A2	20020429	HU 2001-2696	20010628
NZ 512664	A	20021126	NZ 2001-512664	20010628

PRIORITY APPLN. INFO.: US 2000-214979P P 20000629
OTHER SOURCE(S): MARPAT 136:194278
GI



I

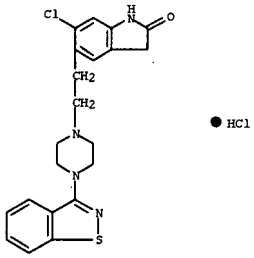
AB This invention is directed to methods for increasing or stimulating appetite in a patient which comprises administering certain growth hormone secretagogues, prodrugs thereof or pharmaceutically acceptable salts of said secretagogues or said prodrugs. More preferably, the present invention provides such methods wherein the growth hormone secretagogue is a compound of Formula I, a prodrug thereof or a pharmaceutically acceptable salt of said secretagogue or said prodrug.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of growth hormone secretagogues for stimulating or increasing appetite in combination with an antipsychotic)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 46 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

L3 ANSWER 47 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:87145 CAPLUS
DOCUMENT NUMBER: 136:112706
TITLE: Use of growth hormone secretagogues for improvement of functional health status
INVENTOR(S): Landschulz, William Harras; Petrie, Charles David
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1175900	A2	20020130	EP 2001-306353	20010724
EP 1175900	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2353768	A1	20020127	CA 2001-2353768	20010725
US 2002103221	A1	20020801	US 2001-912857	20010725
ZA 2001006102	A	20030127	ZA 2001-6102	20010725
HU 200103072	A2	20020429	HU 2001-3072	20010726
JP 2002316947	A	20021031	JP 2001-225900	20010726

PRIORITY APPLN. INFO.: US 2000-221236P P 20000727
OTHER SOURCE(S): MARPAT 136:112706

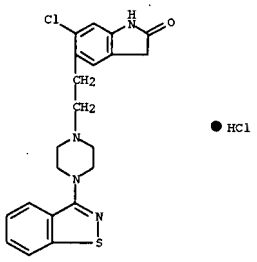
AB This invention is directed to methods for improving functional health status in a patient in need thereof which comprises administering a growth hormone secretagogue, a prodrug thereof or a pharmaceutically acceptable salt of said secretagogue or said prodrug. More preferably, the present invention provides such methods wherein the growth hormone secretagogue is a compound, HET-COC(R1)(R2)N(X4)COR6NR7R8, a prodrug thereof or a pharmaceutically acceptable salt of said secretagogue or said prodrug. The secretagogues can be combined with antidepressants, anxiolytics, antipsychotics, and natural pharmaceuticals.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of growth hormone secretagogues in combination with antipsychotics for improvement of functional health status)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 47 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

L3 ANSWER 48 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:861492 CAPLUS
DOCUMENT NUMBER: 134:32980
TITLE: Ziprasidone suspensions containing Polysorbate and silica
INVENTOR(S): Arenson, Daniel Ray; Qi, Hong
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

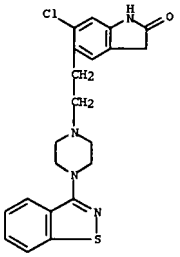
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000072847	A1	20001207	WO 2000-IB593	20000508
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2371550	A1	20001207	CA 2000-2371550	20000508
CA 2371550	C	20070102		
EP 1181018	A1	20020227	EP 2000-920981	20000508
EP 1181018	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010990	A	20020305	BR 2000-10990	20000508
HU 200201297	A2	20020828	HU 2002-1297	20000508
TR 200103392	T2	20021121	TR 2001-3392	20000508
JP 2003500449	T	20030107	JP 2000-620959	20000508
EE 200100633	A	20030217	EE 2001-633	20000508
EE 4704	B1	20061016		
AT 234097	T	20030315	AT 2000-920981	20000508
ES 2191618	T3	20030916	ES 2000-920981	20000508
NZ 514764	A	20040430	NZ 2000-514764	20000508
AU 777413	B2	20041014	AU 2000-41385	20000508
SK 284590	B6	20050701	SK 2001-1678	20000508
US 7175855	B1	20070213	US 2000-573312	20000518
IN 2000MU00472	A	20050304	IN 2000-MU472	20000523
TW 263498	B	20061011	TW 2000-89109949	20000523
NO 2001005755	A	20020123	NO 2001-5755	20011126
NO 320296	B1	20051121		
BG 106153	A	20020628	BG 2001-106153	20011126
ZA 2001009692	A	20021126	ZA 2001-9692	20011126
HR 2001000878	A1	20030630	HR 2001-878	20011126
HR 2001000878	B1	20070331		
HK 1046366	A1	20050729	HK 2002-107882	20021030

PRIORITY APPLN. INFO.: US 1999-136268P P 19990527
WO 2000-IB593 W 20000508

AB Compns. comprising ziprasidone free base or a difficult to wet pharmaceutically ziprasidone acid addition salt, a polysorbate, and colloidal silicon dioxide form good aqueous suspensions having a useful shelf-life and are easily re-suspended if settling occurs. A suspension formulation was prepared by heating 733.31 g water to 70° followed by adding 1.36 g methylparaben and 0.17 g propylparaben while stirring at about 200 rpm with an overhead stirrer. After the parabens completely dissolved, the temp. was lowered to about 30°. The following components were then added in the order: xanthan gum 2.78, xylitol 333.90, anhyd. citric acid 1.13, trisodium citrate dihydrate 1.21, Polysorbate-80 0.55, NaCl 11.13, ziprasidone-HCl monohydrate 11.33 having a nominal particle size of 38 μm, colloidal SiO2 11.13, and cherry flavor 5.0 g. The pH was adjusted to 4.0 by using aq. NaOH and HCl as needed.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ziprasidone suspensions containing Polysorbate and silica)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 49 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:573513 CAPLUS
DOCUMENT NUMBER: 133:168403
TITLE: Basic drug compositions containing cellulose derivatives with enhanced bioavailability
INVENTOR(S): Curatolo, William John; Nightingale, James Alan Schriver; Shanker, Ravi Mysore; Sutton, Steven Charles
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

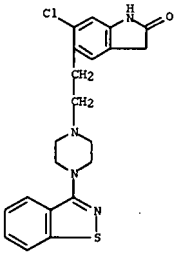
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1027885	A2	20000816	EP 2000-300587	20000126
EP 1027885	A3	20010314		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6548555	B1	20030415	US 2000-495438	20000131
CA 2298259	A1	20000809	CA 2000-2298259	20000207
CA 2298259	C	20060912		
JP 2000229886	A	20000822	JP 2000-31715	20000209
BR 2000000344	A	20010821	BR 2000-344	20000209
US 2005049223	A1	20050303	US 2003-412399	20030411

PRIORITY APPLN. INFO.: US 1999-119283P P 19990209
US 2000-495438 A1 20000131

AB A composition comprises a basic drug, a drug which forms a zwitterion, or a salt of either entity, admixed with a polymer selected from hydroxypropyl methylcellulose acetate succinate (HPMCAS), cellulose acetate trimellitate, cellulose acetate phthalate, hydroxypropyl cellulose acetate phthalate, hydroxypropyl methyl-cellulose acetate phthalate, and methylcellulose acetate phthalate. The compns. having improved solubility, hence bioavailability, in the small intestine; processes for testing such compns., and methods of using such compns. are disclosed. The compns. comprise the basic drug, zwitterion, or salt and one or more of the aforementioned polymers. The invention further relates to a method for increasing the bioavailability of a basic or a zwitterionic drug comprising co-administering the basic drug, the zwitterionic drug, or the salt, with one or more of the aforementioned polymers. A capsule contained ziprasidone hydrochloride (I) 7.5, HPMCAS 37.4, lactose monohydrate 24.5, microcryst. cellulose 20.4, sodium lauryl sulfate 2.0, and sodium starch glycolate 8.2%. The Cmax of I in dogs was 55.8 as compared with 58.7 ng/mL for the controls without HPMCAS.

IT 122883-93-6, Ziprasidone hydrochloride
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(basic drug compns. containing cellulose derivs. with enhanced bioavailability)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 50 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:810894 CAPLUS
DOCUMENT NUMBER: 132:40557
TITLE: Ziprasidone formulations
INVENTOR(S): Busch, Frank Robert; Hausberger, Angela Carol Gatlin; Rasadi, Bijan; Arenson, Daniel Ray
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

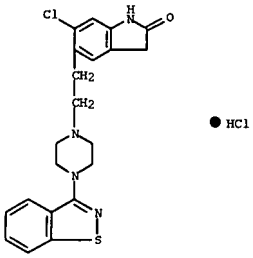
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 965343	A2	19991222	EP 1999-304451	19990608
EP 965343	A3	20000223		
EP 965343	B1	20030521		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6150366	A	20001121	US 1999-320985	19990527
AT 240732	T	20030615	AT 1999-304451	19990608
PT 965343	T	20030829	PT 1999-304451	19990608
ES 2197581	T3	20040101	ES 1999-304451	19990608
AU 9933983	A	19991223	AU 1999-33983	19990609
AU 753820	B2	20021031		
TW 590774	B	20040611	TW 1999-88109645	19990609
SG 77243	A1	20001219	SG 1999-2794	19990610
AP 1216	A	20031019	AP 1999-1579	19990610
W: BW, GH, GM, KE, MW, SD, UG, ZM, ZW				
IL 130424	A	20031031	IL 1999-130424	19990610
CA 2274338	A1	19991215	CA 1999-2274338	19990611
CA 2274338	C	20030415		
TR 9901379	A2	20000121	TR 1999-1379	19990611
NO 9902892	A	19991216	NO 1999-2892	19990614
NO 316713	B1	20040413		
JP 2000007566	A	20000111	JP 1999-166773	19990614
JP 3441676	B2	20030902		
KR 2000006143	A	20000125	KR 1999-21977	19990614
CN 1242987	A	20000202	CN 1999-111119	19990614
MX 9905524	A	20000731	MX 1999-5524	19990614
HU 9901960	A2	20000828	HU 1999-1960	19990614
NZ 336271	A	20001027	NZ 1999-336271	19990614
ZA 9903938	A	20001214	ZA 1999-3938	19990614
JP 2002003492	A	20020109	JP 2001-175276	19990614
HR 990193	B1	20030831	HR 1999-193	19990614
BG 64691	B1	20051230	BG 1999-103489	19990614
BR 9902268	A	20000502	BR 1999-2268	19990615

PRIORITY APPLN. INFO.: US 1998-89229P P 19980615
JP 1999-166773 A3 19990614

AB Compns. comprising crystalline ziprasidone free base or crystalline ziprasidone hydrochloride particles having a mean particle size less than 85 μm, and a pharmaceutically acceptable carrier, are substantially bioequivalent and can be used to treat psychoses such as schizophrenia. A capsule contained ziprasidone·HCl·H2O 22.65, lactose monohydrate 66.1, pregelatinized starch 10, and Mg stearate 1.25 mg.

IT 122883-93-6, Ziprasidone hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ziprasidone formulations with improved dissoln. rate)

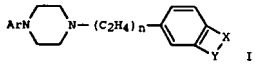
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 51 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:482003 CAPLUS
DOCUMENT NUMBER: 131:130006
TITLE: Preparation of piperazinylethylbenzoxazolones and related compounds for the treatment of psychiatric conditions.
INVENTOR(S): Watsky, Eric Jacob
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 931547	A1	19990728	EP 1998-310295	19981215
EP 931547	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
IL 127497	A	20020725	IL 1998-127497	19981210
AT 231394	T	20030215	AT 1998-310295	19981215
TW 520989	B	20030221	TW 1998-87120864	19981215
ES 2190570	T3	20030801	ES 1998-310295	19981215
CA 2256227	A1	19990618	CA 1998-2256227	19981216
CA 2256227	C	20030701		
AU 9897170	A	19990708	AU 1998-97170	19981217
AU 739472	B2	20011011		
HU 9802958	A1	20000328	HU 1998-2958	19981217
ZA 9811573	A	20000619	ZA 1998-11573	19981217
NZ 333436	A	20000623	NZ 1998-333436	19981217
JP 11246409	A	19990914	JP 1998-360410	19981218
US 6245766	B1	20010612	US 1998-216334	19981218

PRIORITY APPLN. INFO.: US 1997-68069P P 19971218
OTHER SOURCE(S): MARPAT 131:130006
GI

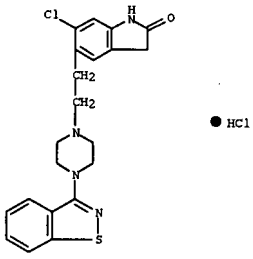


I

AB Use of a compound of formula [I; Ar = (substituted) benzoisothiazolyl, naphthyl, quinolyl, 6-hydroxy-8-quinolyl, isoquinolyl, quinazolinyl, benzothiazolyl, benzothiadiazolyl, benzoxazolyl, benzotriazolyl, benzoxazolyl, benzoxazolonyl, indolyl, indanyl, 3-indazolyl, phthalazinyl; n = 1, 2; XY = atoms to form quinolyl, 2-hydroxyquinolyl, benzothiazolyl, 2-aminobenzothiazolyl, benzoisothiazolyl, indazolyl, 2-hydroxyindazolyl, indolyl, oxindolyl, benzoxazolyl, etc.] in the preparation of a medicament for treatment of dementia, the Alzheimer's disease, anxiety, mood disorders, dyskinesias and behavioral manifestations of mental retardation, conduct disorder and autistic disorder, is claimed. Thus, 6-(2-bromoethyl)benzoxazolone (preparation given), 8-piperazinylquinoline, Na2CO3, and NaI were refluxed 20 h in EtOH to give 32% 6-[2-[4-(8-quinolyl)piperazinyl]ethyl]benzoxazolone.

L3 ANSWER 50 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)
(ziprasidone formulations with improved dissoln. rate)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 51 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IT 122883-93-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylethylbenzoxazolones and related compds. for the treatment of psychiatric conditions)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:355752 CAPLUS
DOCUMENT NUMBER: 131:719
TITLE: A covalent conjugate of clozapine with a fatty acid and its use for treating schizophrenia
INVENTOR(S): Bradley, Matthews O.; Shashoua, Victor E.; Swindell, Charles S.; Webb, Nigel L.
PATENT ASSIGNEE(S): Neuromedica, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926661	A1	19990603	WO 1998-US24412	19981116
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6197764	B1	20010306	US 1997-978541	19971126
CA 2310850	A1	19990603	CA 1998-2310850	19981116
AU 9914115	A	19990615	AU 1999-14115	19981116
AU 746472	B2	20020502		
EP 1044023	A1	20001018	EP 1998-957987	19981116
EP 1044023	B1	20050525		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE				
JP 2001523732	T	20011127	JP 2000-521862	19981116
AT 296116	T	20050615	AT 1998-957987	19981116
ES 2244098	T3	20051201	ES 1998-957987	19981116

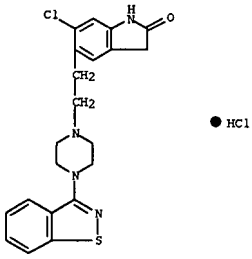
PRIORITY APPLN. INFO.: US 1997-978541 A 19971126
WO 1998-US24412 W 19981116

AB The invention provides compns. that include conjugates of a fatty acid mol., preferably cis-docosahexaenoic acid, and clozapine. The conjugates are useful in treating psychol. disorders such as schizophrenia. Docosahexaenoic acid-clozapine (preparation given) was at least six times longer-acting than clozapine against locomotor behavioral arousal in rats treated with R(-) apomorphine.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical further containing; clozapine conjugate with fatty acid for
treating schizophrenia)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 52 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

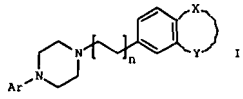
L3 ANSWER 53 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:193901 CAPLUS
DOCUMENT NUMBER: 130:237588
TITLE: Preparation of piperazinyl-heterocyclic compounds for treating Tourette's syndrome
INVENTOR(S): Chappell, Phillip Branch
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 901789	A1	19990317	EP 1998-307170	19980904
EP 901789	B1	20070103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
IL 125951	A	20030917	IL 1998-125951	19980827
TW 448048	B	20010801	TW 1998-87114577	19980902
CA 2246584	A1	19990305	CA 1998-2246584	19980903
CA 2246584	C	20020924		
US 6127373	A	20001003	US 1998-146289	19980903
AU 9883106	A	19990318	AU 1998-83106	19980904
AU 732157	B2	20010412		
JP 11180874	A	19990706	JP 1998-251101	19980904
JP 3004969	B2	20000131		
HU 9802023	A1	19991228	HU 1998-2023	19980904
ZA 9808102	A	20000322	ZA 1998-8102	19980904
NZ 331742	A	20000728	NZ 1998-331742	19980904
NZ 504733	A	20011130	NZ 1998-504733	19980904
AT 350037	T	20070115	AT 1998-307170	19980904
EP 1757292	A1	20070228	EP 2006-119984	19980904
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

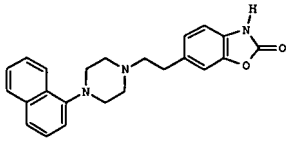
PRIORITY APPLN. INFO.: US 1997-57987P P 19970905
EP 1998-307170 A3 19980904
NZ 1998-331742 A1 19980904

OTHER SOURCE(S): MARPAT 130:237588
GI

L3 ANSWER 53 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

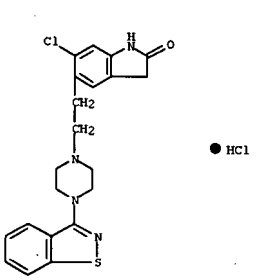


II

AB The title compds. [I; Ar = (un)substituted benzoisothiazolyl or its oxide or dioxide, quinolyl, quinazolyl, etc.; n = 1-2; X and Y with the Ph to which they are attached = quinolyl, benzothiazolyl, indazolyl, etc.], useful for treating Tourette's syndrome, obsessive compulsive disorder, and chronic motor or vocal tic disorder in a mammal (no data), were prepared E.g., a 3-step synthesis of benzoxazolone II was given.

IT 122883-93-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl-heterocyclic compds. for treating Tourette's syndrome)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

L3 ANSWER 53 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 54 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:801873 CAPLUS
DOCUMENT NUMBER: 128:66485
TITLE: Method of selecting a salt for making an inclusion complex
INVENTOR(S): Kim, Yesook
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 811386	A2	19971210	EP 1997-302821	19970424
EP 811386	A3	19990210		
EP 811386	B1	20040929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 277641	T	20041015	AT 1997-302821	19970424
PT 811386	T	20041231	PT 1997-302821	19970424
ES 2224205	T3	20050301	ES 1997-302821	19970424
US 2001007862	A1	20010712	US 1997-850353	19970502
CA 2204451	A1	19971107	CA 1997-2204451	19970505
CA 2204451	C	20040629		

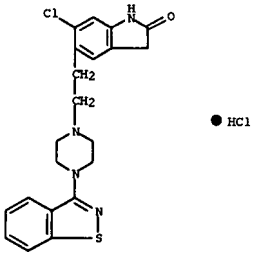
PRIORITY APPLN. INFO.: US 1996-16866P P 19960507

AB Claimed are a method of locating one or more salts of a compound, the salts having a solubility in a cyclodextrin equal to or greater than a desired target solubility, comprising obtaining a series of salts of the compound, measuring the equilibrium solubility of each salt in the series in the cyclodextrin, and comparing each measured solubility with the target solubility Ziprasidone mesylate was dissolved in a 300 mg/mL β-cyclodextrin sulfobutyl ether solution to make a concentration of 27.3 mg/mL. The solution was sterile filtered and filled into vials to give a product to be administered orally or by injections.

IT 122883-93-6DP, Ziprasidone hydrochloride, complexes with cyclodextrin ethers
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclodextrin inclusion complexes with drug salts)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 54 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

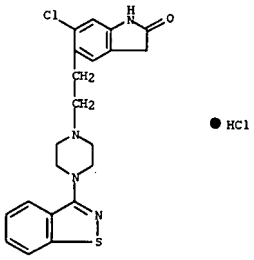


L3 ANSWER 55 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:745974 CAPLUS
DOCUMENT NUMBER: 128:39555
TITLE: Inclusion complexes of aryl heterocyclic salts
INVENTOR(S): Johnson, Kevin Charles; Kim, Yesook; Shanker, Ravi Mysore
PATENT ASSIGNEE(S): Pfizer Inc., USA; Johnson, Kevin Charles; Kim, Yesook; Shanker, Ravi Mysore
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741896	A2	19971113	WO 1997-IB321	19970401
WO 9741896	A3	19980108		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 514529	B	20021221	TW 1997-86103749	19970325
CA 2251912	A1	19971113	CA 1997-2251912	19970401
CA 2251912	C	20030603		
AU 9719372	A	19971126	AU 1997-19372	19970401
AU 713711	B2	19991209		
EP 900088	A2	19990310	EP 1997-907246	19970401
EP 900088	B1	20040114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1216923	A	19990519	CN 1997-194242	19970401
BR 9709213	A	19990810	BR 1997-9213	19970401
JP 11509866	T	19990831	JP 1997-539669	19970401
JP 3579060	B2	20041020		
HU 9902799	A2	19991228	HU 1999-2799	19970401
HU 222451	B1	20030728		
NZ 332220	A	20000327	NZ 1997-332220	19970401
IL 126546	A	20010128	IL 1997-126546	19970401
SK 282032	B6	20011008	SK 1998-1504	19970401
AT 257714	T	20040115	AT 1997-907246	19970401
PT 900088	T	20040430	PT 1997-907246	19970401
ES 2212809	T3	20040801	ES 1997-907246	19970401
PL 189324	B1	20050729	PL 1997-329928	19970401
CZ 297847	B6	20070411	CZ 1998-3461	19970401
IN 1997DE01157	A	20050311	IN 1997-DE1157	19970505
ZA 9703874	A	19981106	ZA 1997-3874	19970506
HR 970237	B1	20020430	HR 1997-237	19970507
BG 64474	B1	20050430	BG 1998-102894	19981103
BG 64475	B2	20050430	BG 1998-108606	19981103
US 6232304	B1	20010515	US 1998-147239	19981105
NO 9805192	A	19981106	NO 1998-5192	19981106
KR 2000010823	A	20000225	KR 1998-708959	19981106
US 2001031756	A1	20011018	US 2001-850658	20010507
US 6399777	B2	20020604		

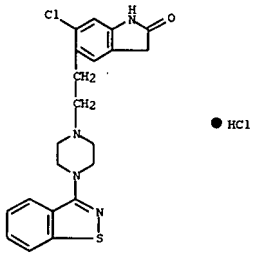
PRIORITY APPLN. INFO.:		
US 1996-19204P	P	19960507
WO 1997-IB321	W	19970401

L3 ANSWER 55 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
US 1998-147239 A3 19981105
OTHER SOURCE(S): MARPAT 128:39555
AB Compns. comprise a pharmaceutically acceptable salt of an aryl heterocyclic compound, such as ziprasidone, in a cyclodextrin. Preferred cyclodextrins are β-cyclodextrin sulfbutyl ether (SBECD) and hydroxypropyl β-cyclodextrin (HPBCD). The composition can comprise a dry mixture, a dry inclusion complex or an aqueous solution The salt/cyclodextrin inclusion complex preferably provides an amount of ziprasidone of at least 2.5 mgA/mL when the complex is dissolved in water at 40 % weight/volume A variety of ziprasidone salts are preferred, including the mesylate, esylate, besylate, tartrate, napsylate, and tosylate. A solution was prepared containing SBECD and ziprasidone mesylate.
IT 122883-93-6D, Ziprasidone hydrochloride, complexes with cyclodextrin derivs.
RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(inclusion complexes of aryl heterocyclic salts)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 56 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:111438 CAPLUS
DOCUMENT NUMBER: 124:193128
TITLE: Comparison of methods for analysis of clinical [11C]raclopride studies
AUTHOR(S): Lammertsma, A. A.; Bench, C. J.; Hume, S. P.; Osman, S.; Gunn, K.; Brooks, D. J.; Frackowiak, R. S. J.
CORPORATE SOURCE: Royal Postgraduate Medical School, Hammersmith Hospital, London, W12 0NN, UK
SOURCE: Journal of Cerebral Blood Flow and Metabolism (1996), 16(1), 42-52
CODEN: JCBMDN; ISSN: 0271-678X
PUBLISHER: Lippincott-Raven
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Five different methods for the estimation of the binding potential, a measure of Bmax/Kd, of [11C]raclopride in human striatum were compared by using data from a previous dose-ranging study of the neuroleptic CP-88,059-01. Binding potential was estimated indirectly, from distribution vols. in the striatum and cerebellum, by using both single- and 2-tissue compartment models with a metabolite-corrected plasma curve as input function. The 2-tissue compartment model was also used for a direct estimate of the binding potential. In addition, a direct estimate was obtained from the reference tissue compartment model by using the cerebellum as indirect input function. Finally, an estimate of binding potential was calculated from the ratio of striatal/cerebellar counts at late times after injection. The ests. of striatum binding potential from all the methods, except the direct determination using a 2-tissue compartment model with metabolite-corrected plasma input function, correlated with each other. Use of an average metabolite correction resulted in only a small reduction in accuracy in this series of normal subjects. The reference tissue model provided ests. of the binding potential with the same sensitivity for detecting changes as those methods that required a metabolite-corrected plasma input function. This indicates that for routine anal. of clin. [11C]raclopride studies, no arterial cannulation is required. The range of normal values was less variable with the reference tissue method than when simple striatum-to-cerebellum ratios were used.
IT 122883-93-6, CP 88059-01
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(anal. of raclopride binding to human striatum by comparison with neuroleptic)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 56 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

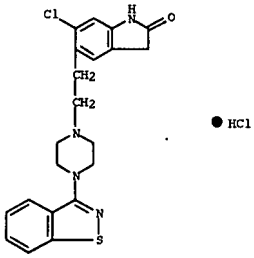


L3 ANSWER 57 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:596498 CAPLUS
DOCUMENT NUMBER: 123:47296
TITLE: Development and validation of a high-sensitivity assay for an antipsychotic agent, CP-88,059, with solid-phase extraction and narrow-bore high-performance liquid chromatography
AUTHOR(S): Janiszewski, John S.; Fouda, H. G.; Cole, Roderic O.
CORPORATE SOURCE: Pfizer Central Research, Department of Drug Metabolism and Clinical Measurements, Eastern Point Road, Groton, CT, 06340, USA
SOURCE: Journal of Chromatography, B: Biomedical Applications (1995), 668(1), 133-9
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An anal. method has been developed and validated for the quantitation of CP-88,059 in human serum. The compound and internal standard were extracted from serum by solid-phase extraction with a weak cation-exchange phase. The analytes were resolved from endogenous interferences using narrow-bore (2.1 mm I.D.) C18 reversed-phase HPLC. Column effluent was monitored by UV absorbance detection at 215 nm. The standard curve range was 1 to 250 ng/mL. The accuracy and precision values for the method were within ±10% and ±15%, resp. A four-fold detectability enhancement was achieved using a 2.1 mm I.D. HPLC column relative to the more common 4.6 mm I.D. column. A performance comparison was made between the 2.1 mm I.D. column used for validation and a 4.6 mm I.D. column with the same stationary phase.

IT 122883-93-6
RL: ANT (Analyte); ANST (Analytical study)
(CP-88,059 determination with solid-phase extraction and narrow-bore HPLC)

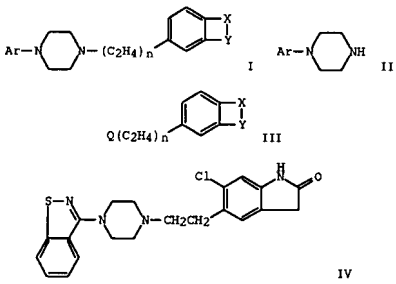
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 58 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:483379 CAPLUS
DOCUMENT NUMBER: 121:83379
TITLE: Process for preparing aryl piperazinyl-heterocyclic compounds useful as neuroleptics
INVENTOR(S): Bowles, Paul; Busch, Frank R.; Allen, Douglas J. M.; Diroma, Sabeto A.; Godek, Dennis M.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Can. Pat. Appl., 14 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 2095587	A1	19940227	CA 1993-2095587		19930505
CA 2095587	C	20000208			
US 5206366	A	19930427	US 1992-936179		19920826
US 5312925	A	19940517	US 1992-939204		19920901
US 5338846	A	19940816	US 1993-49905		19930420
PRIORITY APPLN. INFO.:			US 1992-936179	A	19920826
			US 1992-939204	A	19920901
			US 1993-49905	A	19930420

OTHER SOURCE(S): CASREACT 121:83379; MARPAT 121:83379
GI

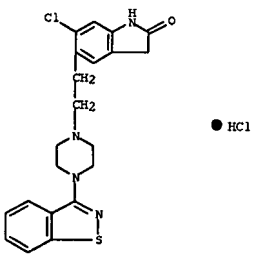


AB A process is claimed, for preparing neuroleptic (no data) title compds. I [Ar = (un)substituted naphthyl, quinolyl, 6-hydroxy-8-quinolyl, isoquinolyl, quinazolyl, benzoisothiazolyl, or an oxide or dioxide thereof, benzothiazolyl, benzothiadiazolyl, benzotriazolyl, benzoxazolyl, benzoxazolonyl, indolyl, (di)(fluoro)indanyl, 3-indazolyl, or phthalazinyl; n = 1 or 2; X and Y = atoms to form 2nd ring of ring system selected from (un)substituted quinolyl, 2-hydroquinolyl, benzothiazolyl,

L3 ANSWER 58 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
2-aminobenzothiazolyl, benzoisothiazolyl, indazolyl, 2-hydroxyindazolyl, indolyl, spiro[cyclopentane-1,3'-indolinyl], and oxindolyl]. The method involves treatment of an arylpiperazine II or its mono-HZ salt (Z = F, Cl, Br, iodo, MeSO3, CF3SO3, CF3CO2) with an alkyl halide III (Q = F, Cl, Br, iodo) and a reagent to neutralize hydrohalic acid, heating the mixt. under suitable conditions to effect coupling, and, if desired, prepg. a pharmaceutically acceptable salt. This aq. method gives improved yields, eliminates handling and disposal of org. solvents, and neither gives byproducts nor requires special isolation procedures such as extn., distn., or recrystn. For example, a mixt. of 3-(1-piperazinyl)-1,2-benzisothiazole, 5-(2-chloroethyl)-6-chlorooxindole, and Na2CO3 in H2O was refluxed for 9-12 h, cooled, and filtered to give title compd. IV (91% yield, 94.5% purity), also converted to its HCl salt (86% yield, 99.5% purity). In another example, IV was similarly obtained on a 9-kg scale, with 83.8% recrystd. (THF) yield and 99.7% purity.

IT 122883-93-6P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via coupling of piperazinylbenzisothiazole with (chloroethyl)chlorooxindole in water)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 59 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:226951 CAPLUS
DOCUMENT NUMBER: 120:226951
TITLE: Monohydrate of 5-[2-[4-(1,2 benzisothiazol-3-yl)-1-piperazinyl]ethyl)-6-chloro-1,3-dihydro-2h-indol-2-one hydrochloride
INVENTOR(S): Allen, Douglas J. M.; Busch, Frank R.; Diroma, Sabeto A.; Godek, Dennis M.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 586191	A1	19940309	EP 1993-306762	19930825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5312925	A	19940517	US 1992-939204	19920901
TW 422845	B	20010221	TW 1993-82104610	19930610
BR 9303014	A	19940315	BR 1993-3014	19930727
IL 106777	A	19970415	IL 1993-106777	19930823
JP 06157521	A	19940603	JP 1993-210342	19930825
JP 2742372	B2	19980422		
CA 2105114	A1	19940302	CA 1993-2105114	19930830
CA 2105114	C	20000215		
PL 173967	B1	19980529	PL 1993-300235	19930830
PL 174396	B1	19980731	PL 1993-317826	19930830
FI 9303804	A	19940302	FI 1993-3804	19930831
FI 115460	B1	20050513		
NO 9303093	A	19940302	NO 1993-3093	19930831
AU 9346004	A	19940616	AU 1993-46004	19930831
AU 657231	B2	19950302		
CN 1089607	A	19940720	CN 1993-117311	19930831
CN 1033641	B	19961225		
HU 67023	A2	19950130	HU 1993-2460	19930831
HU 221725	B1	20021228		
ZA 9306394	A	19950228	ZA 1993-6394	19930831
RU 2081116	C1	19970610	RU 1993-43528	19930831
CZ 285984	B6	19991215	CZ 1993-1789	19930831
ES 2083319	A1	19960401	ES 1993-1981	19930920
ES 2083319	B1	19970116		
PRIORITY APPLN. INFO.:			US 1992-939204 A	19920901

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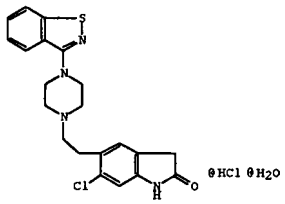
L3 ANSWER 59 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



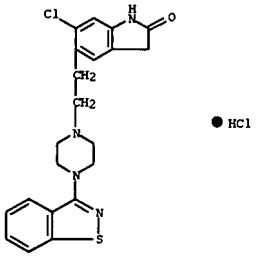
I

AB Disclosed was 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride monohydrate (I) has advantageous stability for formulation as a neuroleptic agent. Pharmacol. test data for I were not presented.

IT 122883-93-6P, 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 60 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L3 ANSWER 60 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:69367 CAPLUS

DOCUMENT NUMBER: 120:69367

TITLE: Dose dependent occupancy of central dopamine D2 receptors by the novel neuroleptic CP-88,059-01: A study using position emission tomography and 11C-raclopride

AUTHOR(S): Bench, C. J.; Lammertsma, A. A.; Dolan, R. J.; Grasby, P. M.; Warrington, S. J.; Gunn, K.; Cuddigan, M.; Turton, D. J.; Osman, S.; Frackowiak, R. S. J.

CORPORATE SOURCE: MRC Cyclotron Unit, Hammersmith Hosp., London, W12 OHS, UK

SOURCE: Psychopharmacology (Berlin, Germany) (1993), 112(2-3), 308-14
CODEN: PSCHDL; ISSN: 0033-3158

DOCUMENT TYPE: Journal

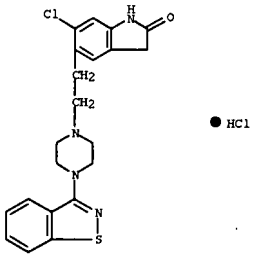
LANGUAGE: English

AB Positron emission tomog. (PET) and 11C-raclopride were used to measure the occupancy of central dopamine D2 receptors by a new neuroleptic, CP-88,059-1. In a double blind dose escalation study, seven healthy male subjects received a predose of between 2 mg and 60 mg CP-88,059-1, 5 h before PET scanning. One addnl. subject was assigned to placebo predose. Receptor occupancy was defined as the percentage reduction in binding potential compared with that seen in the subject predosed with placebo and with that seen in seven unmedicated normal volunteers previously studied. Binding of 11C-raclopride decreased in a dose dependent manner, and 85% dopamine D2 receptor occupancy was achieved with the highest dose of CP-88,059-1. The findings confirm that brain dopamine D2 receptors are blocked by CP-88,059-1 and suggest that an effective antipsychotic dose will be between 20 mg and 40 mg. The study high-lights the potential of positron emission tomog. in the preclin. evaluation of new drugs.

IT 122883-93-6, CP 88059-01
RL: BIOL (Biological study)
(brain dopamine D2 receptors response to, in human brain, PET in evaluation of, antipsychotic activity in relation to)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 60 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L3 ANSWER 61 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:603320 CAPLUS

DOCUMENT NUMBER: 119:203320

TITLE: Process for preparing aryl piperazinyl-heterocyclic compounds

INVENTOR(S): Bowles, Paul

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 4 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5206366	A	19930427	US 1992-936179	19920826
US 5338846	A	19940816	US 1993-49905	19930420
HU 65750	A2	19940728	HU 1993-1291	19930504
HU 223312	B1	20040528		
FI 111641	B1	20030829	FI 1993-2012	19930504
AU 642836	B2	19931028	AU 1993-38403	19930505
CA 2095587	A1	19940227	CA 1993-2095587	19930505
CA 2095587	C	20000208		
NO 9301656	A	19940228	NO 1993-1656	19930506
IL 105622	A	19980615	IL 1993-105622	19930506
EP 584903	A1	19940302	EP 1993-303576	19930507
EP 584903	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 1029861	A1	20000823	EP 2000-201940	19930507
EP 1029861	B1	20040818		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 206422	T	20011015	AT 1993-303576	19930507
ES 2161703	T3	20011216	ES 1993-303576	19930507
PT 584903	T	20020228	PT 1993-303576	19930507
AT 273976	T	20040915	AT 2000-201940	19930507
PT 1029861	T	20041130	PT 2000-201940	19930507
ES 2225015	T3	20050316	ES 2000-201940	19930507
CZ 281893	B6	19970312	CZ 1993-877	19930512
SK 280584	B6	20000410	SK 1993-485	19930514
PL 173840	B1	19980529	PL 1993-299002	19930519
BR 9302065	A	19940726	BR 1993-2065	19930526
RU 2061695	C1	19960610	RU 1993-28444	19930528
CN 1083061	A	19940302	CN 1993-106669	19930604
CN 1033089	B	19961023		
KR 123441	B1	19971124	KR 1993-13678	19930720
JP 06184143	A	19940705	JP 1993-201542	19930813
JP 2742370	B2	19980422		
ZA 9306225	A	19950227	ZA 1993-6225	19930825

PRIORITY APPLN. INFO.:

US 1992-936179	A2	19920826
US 1992-939204	A	19920901
US 1993-49905	A	19930420
EP 1993-303576	A3	19930507

OTHER SOURCE(S): CASREACT 119:203320; MARPAT 119:203320

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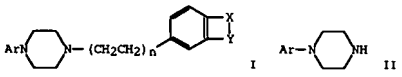

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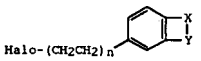
II



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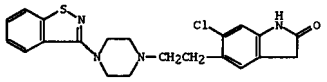


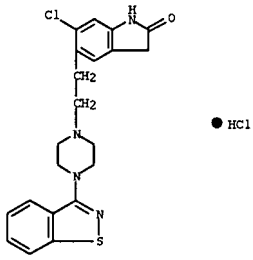
IV

AB Piperazinyl heterocyclic compds. I [Ar = naphthyl, substituted naphthyl; quinolyl; 6-hydroxy-8-quinolyl; isoquinolyl; quinazolyl; benzoisothiazolyl or an oxide or dioxide thereof, substituted benzisothiazolyl; benzothiazolyl; benzothiadiazolyl; benzotriazolyl; benzoxazolyl; benzoxazolonyl; indolyl; indanyl, substituted indanyl; 3-indazolyl; substituted indazolyl; or phthalazinyl; n = 1 or 2; and X, Y with the Ph to which they are attached = quinolyl; 2-hydroxyquinolyl; benzothiazolyl; 2-aminobenzothiazolyl; benzoisothiazolyl; indazolyl; 2-hydroxyindazolyl; indolyl; spiro[cyclopentane-1,3'-indolinyl-indolinyl oxindolyl substituted oxindolkyl; benzoxazoly; 2-amino benzoxazolyl; benzoxazolonyl; 2-aminobenzoxazolinyl; benzothiazolonyl; benzoimidazolonyl; benzotriazolyl] or pharmaceutically acceptable acid addition salts thereof, were prepared as neuroleptics for treatment of psychotic disorders of the schizophrenic type. (no data). I were prepared from piperazine II and halides III (halo = F, Cl, Br, iodo) in water in presence of Na2CO3 with reflux at 100°. Thus, 3-piperazinyl-1,2-benzisothiazole and (2-chloroethyl)-6-chlorooxindole were treated with Na2CO3 in H2O with refluxing 100° to give 91% IV.

IT 122883-93-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as potential neuroleptic)

RN 122883-93-6 CAPLUS

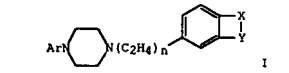
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



ACCESSION NUMBER: 1989:553842 CAPLUS
DOCUMENT NUMBER: 111:153842
TITLE: Neuroleptic arylpiperazinylalkyl-substituted heterocycles and their pharmaceutical compositions and use
INVENTOR(S): Lowe, John A., III.; Nagel, Arthur A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4831031	A	19890516	US 1988-146886	19880122
IN 173938	A1	19940813	IN 1988-DE139	19880219
US 4883795	A	19891128	US 1989-300995	19890123
PRIORITY APPLN. INFO.:			US 1988-146886 A	19880122
OTHER SOURCE(S):	CASREACT 111:153842; MARPAT 111:153842			

GI



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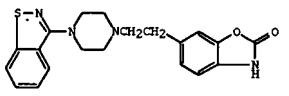


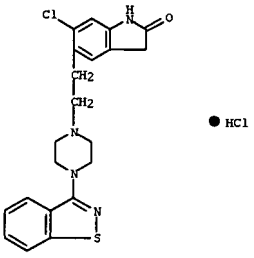
II

AB Title compds. I [Ar = benzothiazolyl, benzothiadiazolyl, benzotriazolyl, benzoxazolyl, benzoxazolonyl, indolyl, phthalazinyl, (un)substituted naphthyl, quinolyl, isoquinolyl, benzoisothiazolyl indanyl, 3-indazolyl; n = 1, 2; X and Y plus attached Ph = benzimidazolonyl, benzotriazolyl, (un)substituted quinolyl, benzothiazolyl, benzoisothiazolyl, indazolyl, indolyl, spiro[cyclopentaneindolinyl]] are prepared as neuroleptics (no data). Benzoxazolone was acylated by BrCH2CO2H and polyphosphoric acid, and the bromoacetyl derivative reduced by Et3SiH and CF3CO2H, to give 11% 6-(2-bromoethyl)benzoxazolone. Alkylation of N-(3-benzisothiazolyl)piperazine by the bromide in MIBK containing Na2CO3 gave benzoxazolone II.

IT 122883-93-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neuroleptic)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 63 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:39024 CAPLUS
DOCUMENT NUMBER: 110:39024
TITLE: Preparation of (heterocyclophenylalkyl)piperazinylarenes as antipsychotics
INVENTOR(S): Lowe, John Adams, III; Nagel, Arthur Adam
PATENT ASSIGNEE(S): Pfizer Inc., USA
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EP 281309	A1	19880907	EP 1988-301561	19880224
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R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
IL 85495	A	19930513	IL 1988-85495	19880222
AT 70833	T	19920115	AT 1988-301561	19880224
ES 2040838	T3	19931101	ES 1988-301561	19880224
CA 1300139	C	19920505	CA 1988-560086	19880229
AU 8812537	A	19880901	AU 1988-12537	19880301
AU 583762	B2	19890504		
DK 8801083	A	19880903	DK 1988-1083	19880301
DK 173065	B1	19991213		
FI 8800941	A	19880903	FI 1988-941	19880301
FI 91868	B	19940513		
FI 91868	C	19940825		
NO 8800901	A	19880905	NO 1988-901	19880301
NO 170977	B	19920928		
NO 170977	C	19930106		
CN 88101642	A	19880914	CN 1988-101642	19880301
CN 1015057	B	19911211		
DD 272077	A5	19890927	DD 1988-313286	19880301
ZA 8801447	A	19891025	ZA 1988-1447	19880301
HU 50330	A2	19900129	HU 1988-976	19880301
HU 207860	B	19930628		
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JP 63301861	A	19881208	JP 1988-49452	19880302
JP 07010837	B	19950208		

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WO 1987-US423 A 19870302
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OTHER SOURCE(S): MARPAT 110:39024
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; Ar = (substituted) naphthyl, quinolyl, isoquinolyl, quinazolyl, benzisothiazolyl, indolyl, indanyl, etc.; X, Y = atoms to complete quinolyl, benzothiazolyl, indazolyl, indolyl, oxindolyl, benzoxazolyl benzimidazolonyl, benzotriazolyl rings, etc.; n = 1,2] useful as antipsychotics (no data) were prepared A mixture of benzoxazolone and BrCH2CO2H in polyphosphoric acid was stirred at 115° for 2-5 h and the product was treated with CF3CO2H and then Et3SiH. The mixture was stirred overnight at room temperature to give 11% 6-(2-bromoethyl)benzoxazolone.
The latter was refluxed with N-(1-naphthyl)piperazine, NaI, and Et3N in EtOH for 3 days to give 23% 6-[2-[4-(1-naphthyl)piperazinyl]ethyl]benzoxazolone.
IT 122883-93-6P

L3 ANSWER 63 OF 63 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antipsychotic)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)